EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	5325	((514/210.01,210.09,210.2,210.21) or (544/335) or (546/172,268.1) or (548/314.7,518,953)).CCLS.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2006/02/21 18:17
L2	15633	azetidin$	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/02/21 18:17
L3	948	1 and 2	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/02/21 18:17

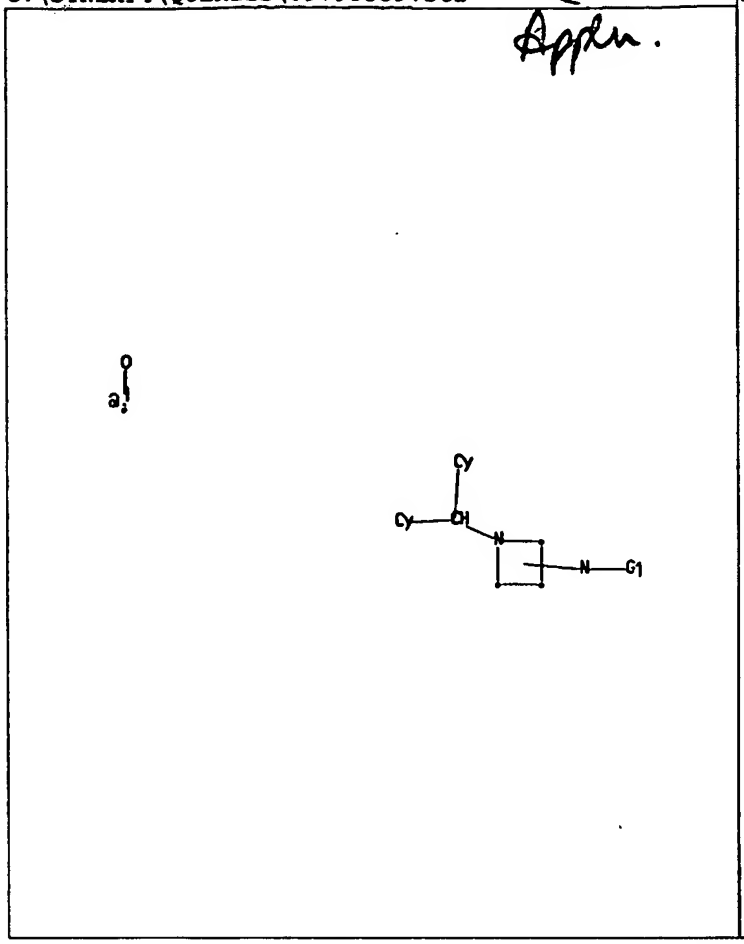
NPL

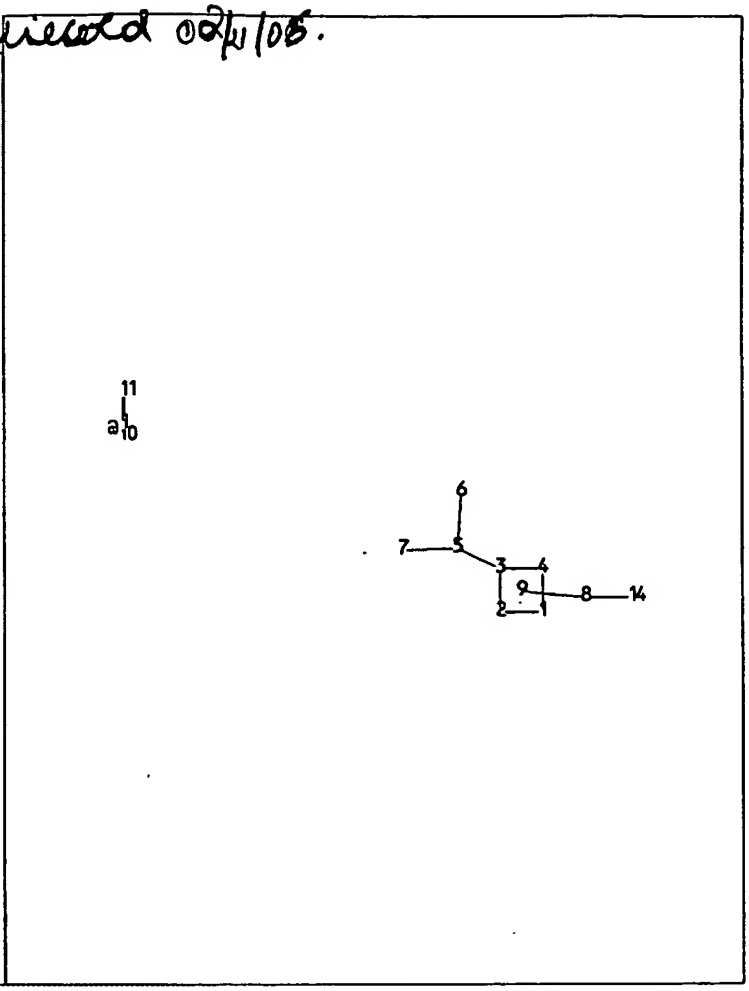
		Results
7.	TITLE-ABSTR-KEY(cannabinoid antagonist) and TITLE-ABSTR-KEY(pain) *[All Sources(- All Sciences -)]*	27
6.	TITLE-ABSTR-KEY(cannabinoid antagonist) and TITLE-ABSTR-KEY(alcohol abuse) *[All Sources(- All Sciences -)]*	0
5.	TITLE-ABSTR-KEY(cannabinoid antagonist) and TITLE-ABSTR-KEY(raynaud) *[All Sources(- All Sciences -)]*	0
4.	TITLE-ABSTR-KEY(cannabinoid antagonist) and TITLE-ABSTR-KEY(huntington) *[All Sources(- All Sciences -)]*	3
3.	TITLE-ABSTR-KEY(cannabinoid antagonist) and TITLE-ABSTR-KEY(parkinson) *[All Sources(- All Sciences -)]*	5
2.	TITLE-ABSTR-KEY(cannabinoid antagonist) and TITLE-ABSTR-KEY(schizophrenia) *[All Sources(- All Sciences -)]*	2
1.	TITLE-ABSTR-KEY(cannabinoid antagonist) *[All Sources(- All Sciences -)]*	351

C:\STNEXP4\QUERIES\~~00790589~~.str

10/771,764 ← Received 02/21/06.

Appln.



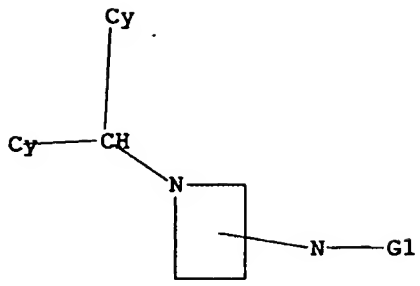


chain nodes :
5 6 7 8 10 11 14
ring nodes :
1 2 3 4
chain bonds :
3-5 5-6 5-7 8-14 10-11
ring bonds :
1-2 1-4 2-3 3-4
exact/norm bonds :
1-2 1-4 2-3 3-4 3-5 5-6 5-7 8-14 10-11
isolated ring systems :
containing 1 :

G1:SO2,[*1]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:CLASS 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS
11:CLASS 14:CLASS
Generic attributes :
6:
Saturation : Unsaturated
7:
Saturation : Unsaturated

```
=>
Uploading 09798589.str

L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1                STR
```




```
G1 SO2,[@1]


Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss sam
SAMPLE SEARCH INITIATED 16:25:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   1248 TO ITERATE

 80.1% PROCESSED    1000 ITERATIONS                          4 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        22842 TO    27078
PROJECTED ANSWERS:               4 TO      233

L2            4 SEA SSS SAM L1

=> s l1 sss ful
FULL SEARCH INITIATED 16:26:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  24501 TO ITERATE

100.0% PROCESSED   24501 ITERATIONS                      104 ANSWERS
SEARCH TIME: 00.00.03

L3          104 SEA SSS FUL L1
```

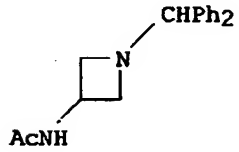
```
=> s l3
L4            28 L3

=> d l4 1-28 bib,ab,hitstr
```

L4 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 2001:904182 CAPLUS
DN 136:37500
TI Preparation of thiophene derivatives as anticancer agents
IN Luzzio, Michael Joseph; Marx, Matthew Arnold; Yang, Bingwei Vera
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001094353	A1	20011213	WO 2001-IB766	20010502

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 2000-209686 P 20000606
OS MARPAT 136:37500
AB The prepn. of compds. of the formula [I; X = N, CH, C(CN); Y = N, CH, CF, N.fwdarw.O; R1 = H, (C1-6)alkyl; R2 = 5 to 13 membered heterocycle, optionally substituted by 1 to 5 substituents; R3 = C(O)N(alkyl)2, CO2(alkyl), etc.] or pharmaceutically acceptable salts and hydrates thereof, where prepd. Thus, a multistep synthesis of 100% 7-chloro-thieno[3,2-b]pyridine-2-carboxylic acid amide was demonstrated. The compds. are useful for inhibiting abnormal cell growth, including cancer.
IT **102065-87-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of thiophene derivs. as anticancer agents)
RN 102065-87-2 CAPLUS
CN Acetamide, N-[1-(diphenylmethyl)-3-azetidinyl]- (9CI) (CA INDEX NAME)



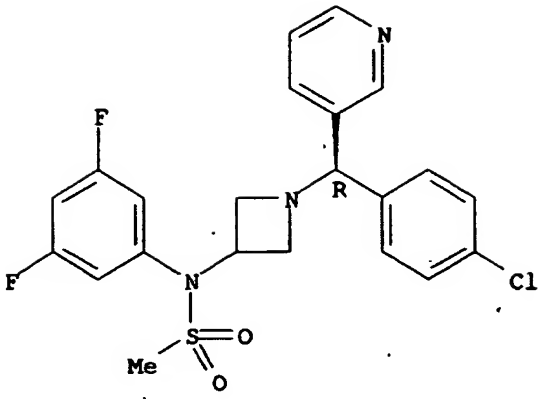
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 2001:661383 CAPLUS
DN 135:226875
TI Preparation and formulation of 3-aminoazetidines for pharmaceutical use
IN Achard, Daniel; Bouchard, Herve; Bouquerel, Jean; Filoche, Bruno; Grisoni, Serge; Hittinger, Augustin; Myers, Michael
PA Aventis Pharma S.A., Fr.
SO PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001064634	A1	20010907	WO 2001-FR602	20010301
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2805817	A1	20010907	FR 2000-2776	20000303
PRAI FR 2000-2776	A	20000303		

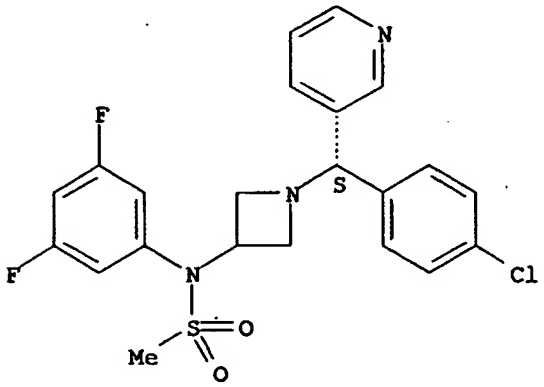
OS MARPAT 135:226875
AB 3-Aminoazetidines, such as I [R1, R2 = aryl, heteroaryl; R4 = alkyl, arylalkyl, cycloalkyl, heteroaryl, heteroarylalkyl, etc.; R5 = H, acyl, alkylsulfonyl, etc.], were prepd. for use as pharmaceuticals with potential usefulness in treating conditions such as neurol. disorders, cancer, immunol. disorders, and substance abuse. Thus, I (R2 = R3 = C6H4-4-Cl, R4 = SO2Me, R5 = 6-chloropyridin-2-yl) was prepd. via a multistep synthetic sequence starting from epichlorohydrin, H2NCH(C6H4-4-Cl)2.HCl, 2-amino-6-chloropyridine, and MeSO2Cl. Data for specific biol. activities were not given, however, pharmaceutical formulations for various means of delivery were presented.
IT **358971-33-2P 358971-34-3P 358971-35-4P**
358971-36-5P
RL: BAC (Biological activity or effector, except adverse); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and formulation of 3-aminoazetidines for pharmaceutical use)
RN 358971-33-2 CAPLUS
CN Methanesulfonamide, N-[1-[(R)-(4-chlorophenyl)-3-pyridinylmethyl]-3-azetidinyl]-N-(3,5-difluorophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



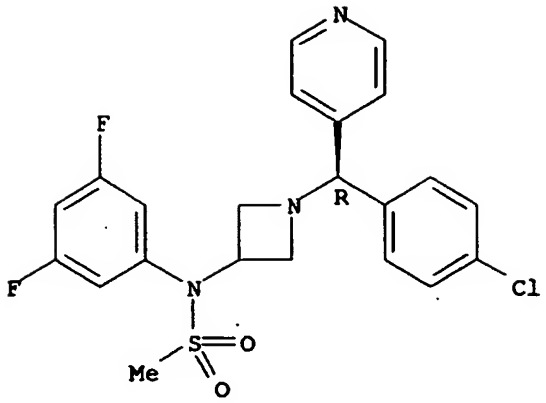
RN 358971-34-3 CAPLUS
CN Methanesulfonamide, N-[1-[(S)-(4-chlorophenyl)-3-pyridinylmethyl]-3-azetidinyl]-N-(3,5-difluorophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



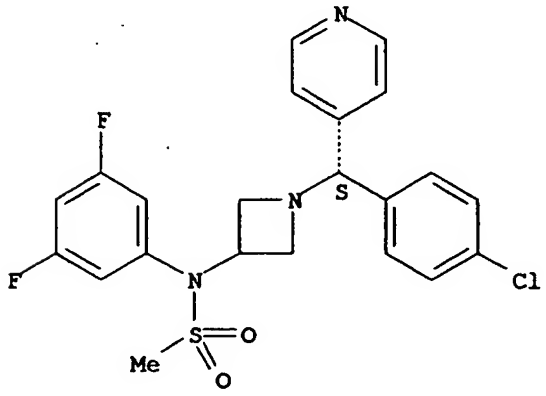
RN 358971-35-4 CAPLUS
CN Methanesulfonamide, N-[1-[(R)-(4-chlorophenyl)-4-pyridinylmethyl]-3-azetidinyl]-N-(3,5-difluorophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

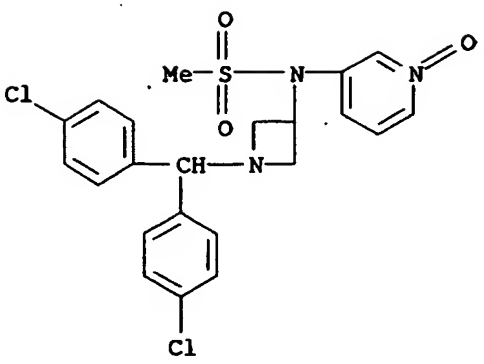


RN 358971-36-5 CAPLUS
CN Methanesulfonamide, N-[1-[(S)-(4-chlorophenyl)-4-pyridinylmethyl]-3-azetidinyl]-N-(3,5-difluorophenyl)- (9CI) (CA INDEX NAME)

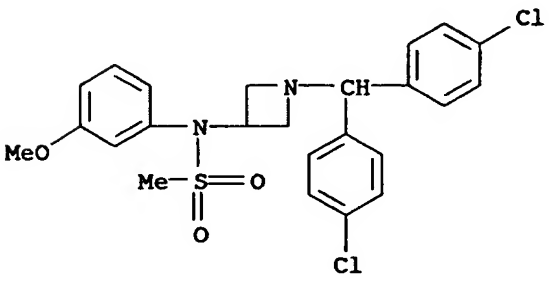
Absolute stereochemistry.



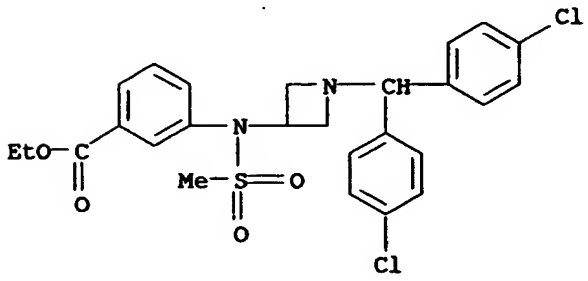
IT **358970-92-0P 358971-09-2P 358971-12-7P**
358971-28-5P 358971-30-9P
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and formulation of 3-aminoazetidines for pharmaceutical use)
RN 358970-92-0 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-(1-oxido-3-pyridinyl)- (9CI) (CA INDEX NAME)



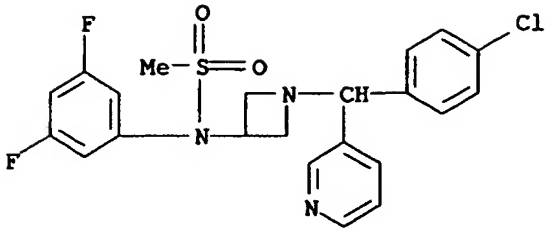
RN 358971-09-2 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



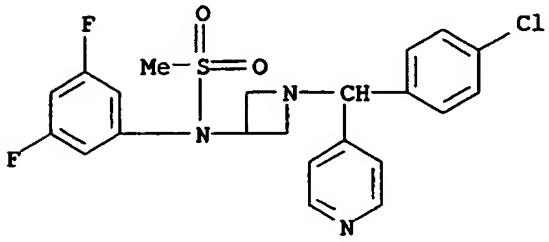
RN 358971-12-7 CAPLUS
CN Benzoic acid, 3-[[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl](methylsulfonyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



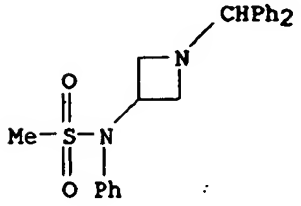
RN 358971-28-5 CAPLUS
CN Methanesulfonamide, N-[1-[(4-chlorophenyl)-3-pyridinylmethyl]-3-azetidinyl]-N-(3,5-difluorophenyl)- (9CI) (CA INDEX NAME)



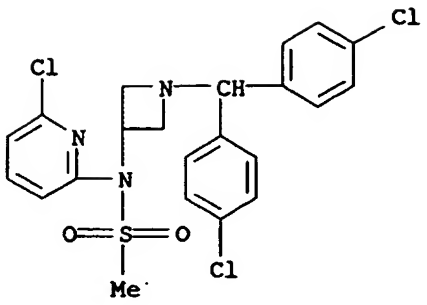
RN 358971-30-9 CAPLUS
CN Methanesulfonamide, N-[1-[(4-chlorophenyl)-4-pyridinylmethyl]-3-azetidinyl]-N-(3,5-difluorophenyl)- (9CI) (CA INDEX NAME)



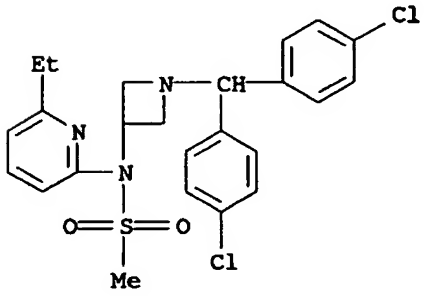
IT **219725-74-3P 358970-86-2P 358970-87-3P**
358970-88-4P 358970-89-5P 358970-90-8P
358970-91-9P 358970-93-1P 358970-94-2P
358970-95-3P 358970-96-4P 358970-97-5P
358970-98-6P 358971-00-3P 358971-03-6P
358971-06-9P 358971-10-5P 358971-14-9P
358971-18-3P 358971-20-7P 358971-21-8P
358971-22-9P 358971-24-1P 358971-27-4P
358971-29-6P 358971-31-0P 358971-32-1P
358971-37-6P 358971-38-7P 358971-39-8P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and formulation of 3-aminoazetidines for pharmaceutical use)
RN 219725-74-3 CAPLUS
CN Methanesulfonamide, N-[1-(diphenylmethyl)-3-azetidinyl]-N-phenyl- (9CI) (CA INDEX NAME)



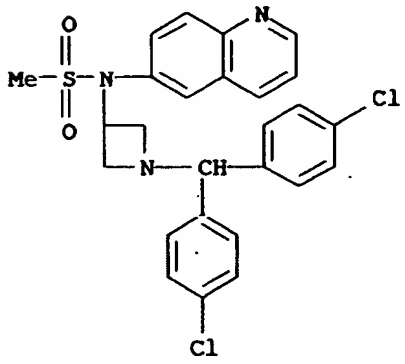
RN 358970-86-2 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-(6-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)



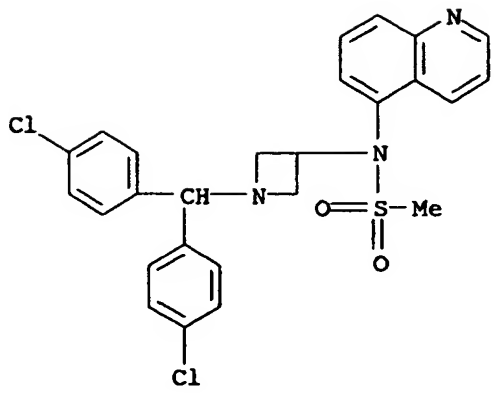
RN 358970-87-3 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-(6-ethyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



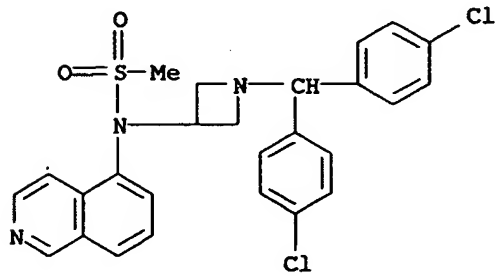
RN 358970-88-4 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-6-quinolinyl- (9CI) (CA INDEX NAME)



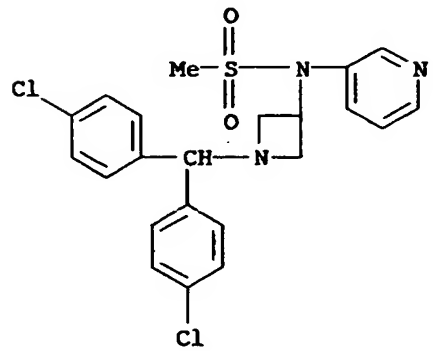
RN 358970-89-5 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-5-quinolinyl- (9CI) (CA INDEX NAME)



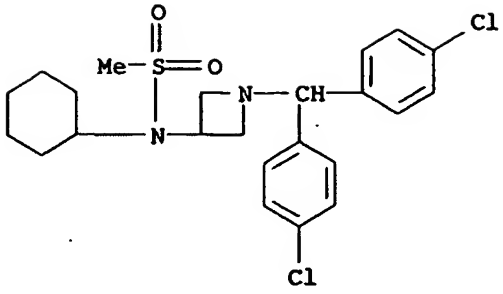
RN 358970-90-8 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-5-isoquinolinyl- (9CI) (CA INDEX NAME)



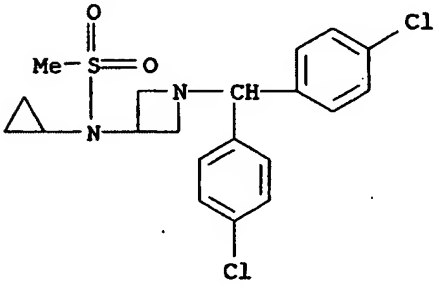
RN 358970-91-9 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-3-pyridinyl- (9CI) (CA INDEX NAME)



RN 358970-93-1 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-cyclohexyl- (9CI) (CA INDEX NAME)

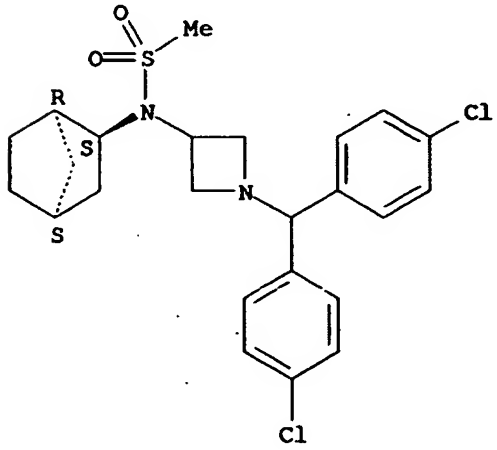


RN 358970-94-2 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-cyclopropyl- (9CI) (CA INDEX NAME)



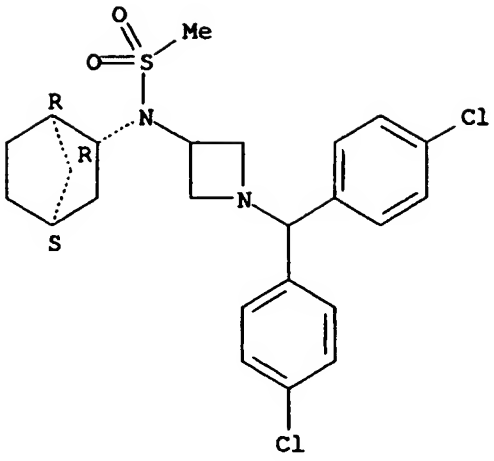
RN 358970-95-3 CAPLUS
CN Methanesulfonamide, N-(1R,2S,4S)-bicyclo[2.2.1]hept-2-yl-N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

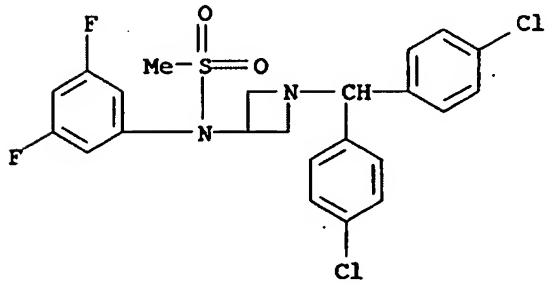


RN 358970-96-4 CAPLUS
CN Methanesulfonamide, N-(1R,2R,4S)-bicyclo[2.2.1]hept-2-yl-N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]- (9CI) (CA INDEX NAME)

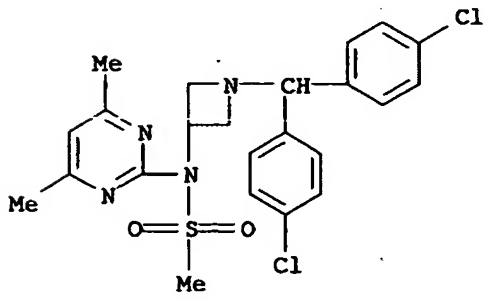
Absolute stereochemistry.



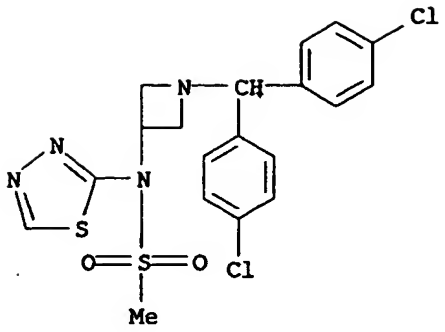
RN 358970-97-5 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-(3,5-difluorophenyl)- (9CI) (CA INDEX NAME)



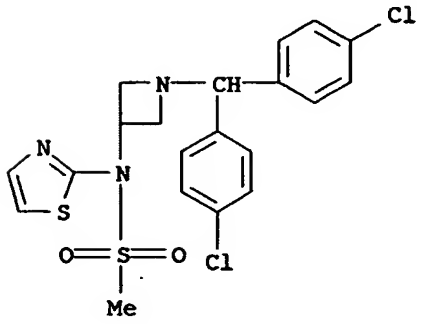
RN 358970-98-6 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-(4,6-dimethyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



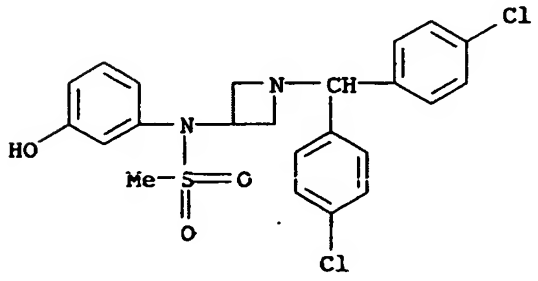
RN 358971-00-3 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-1,3,4-thiadiazol-2-yl- (9CI) (CA INDEX NAME)



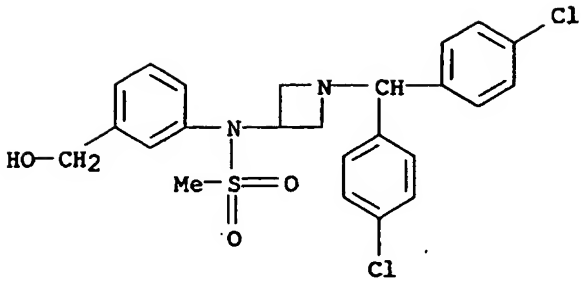
RN 358971-03-6 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-2-thiazolyl- (9CI) (CA INDEX NAME)



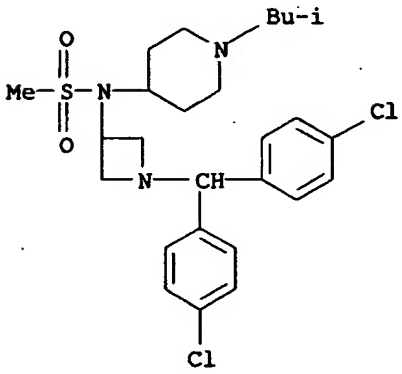
RN 358971-06-9 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-(3-hydroxyphenyl)- (9CI) (CA INDEX NAME)



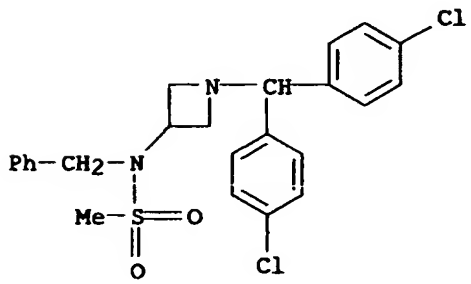
RN 358971-10-5 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-[3-(hydroxymethyl)phenyl]- (9CI) (CA INDEX NAME)



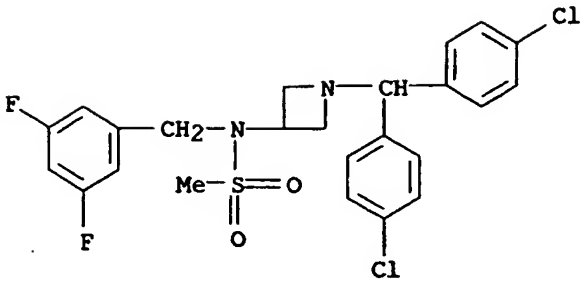
RN 358971-14-9 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-[1-(2-methylpropyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



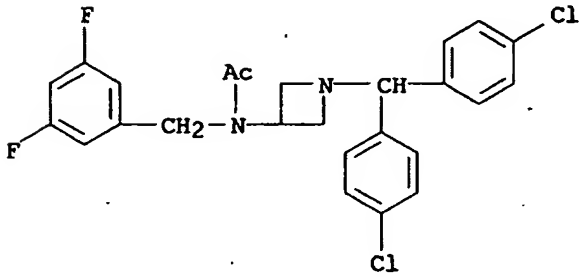
RN 358971-18-3 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



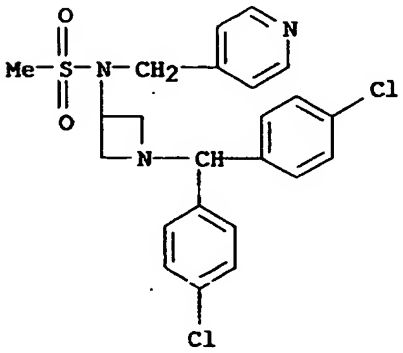
RN 358971-20-7 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-[(3,5-difluorophenyl)methyl]- (9CI) (CA INDEX NAME)



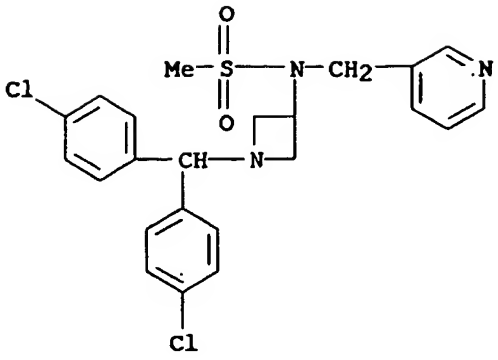
RN 358971-21-8 CAPLUS
CN Acetamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-[(3,5-difluorophenyl)methyl]- (9CI) (CA INDEX NAME)



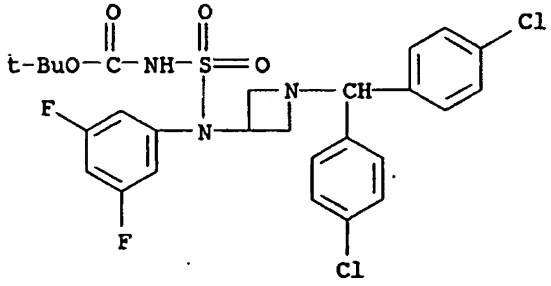
RN 358971-22-9 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



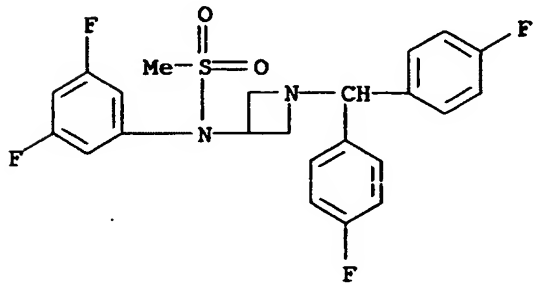
RN 358971-24-1 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



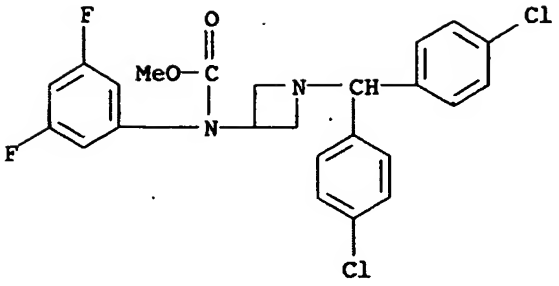
RN 358971-27-4 CAPLUS
CN Carbamic acid, [[[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl](3,5-difluorophenyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



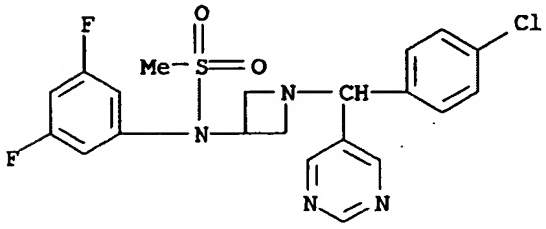
RN 358971-29-6 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-fluorophenyl)methyl]-3-azetidinyl]-N-(3,5-difluorophenyl)- (9CI) (CA INDEX NAME)



RN 358971-31-0 CAPLUS
CN Carbamic acid, [1-[bis(4-chlorophenyl)methyl]-3-azetidinyl](3,5-difluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)

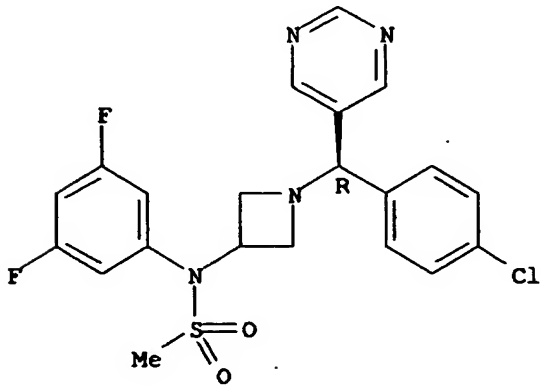


RN 358971-32-1 CAPLUS
CN Methanesulfonamide, N-[1-[(4-chlorophenyl)-5-pyrimidinylmethyl]-3-azetidinyl]-N-(3,5-difluorophenyl)- (9CI) (CA INDEX NAME)



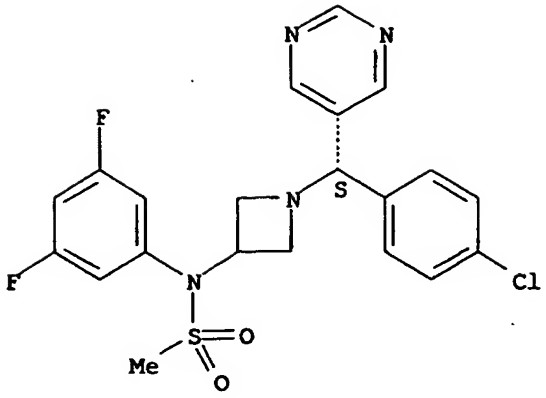
RN 358971-37-6 CAPLUS
CN Methanesulfonamide, N-[1-[(R)-(4-chlorophenyl)-5-pyrimidinylmethyl]-3-azetidinyl]-N-(3,5-difluorophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

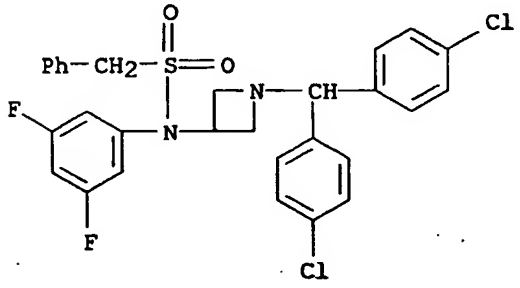


RN 358971-38-7 CAPLUS
CN Methanesulfonamide, N-[1-[(S)-(4-chlorophenyl)-5-pyrimidinylmethyl]-3-azetidinyl]-N-(3,5-difluorophenyl)- (9CI) (CA INDEX NAME)

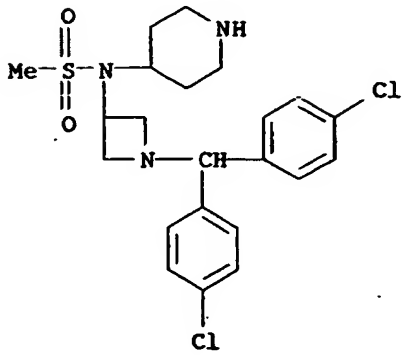
Absolute stereochemistry.



RN 358971-39-8 CAPLUS
CN Benzenemethanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-(3,5-difluorophenyl)- (9CI) (CA INDEX NAME)

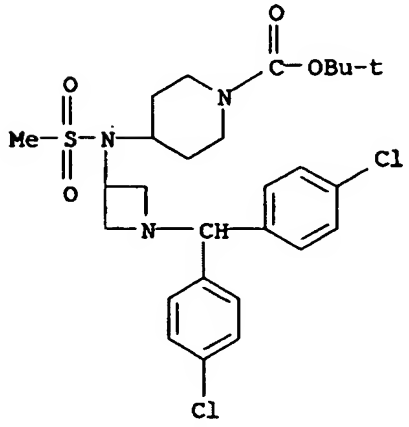


IT **358971-51-4P 358971-52-5P 358971-56-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and formulation of 3-aminoazetidines for pharmaceutical use)
RN 358971-51-4 CAPLUS
CN Methanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-4-piperidinyl- (9CI) (CA INDEX NAME)

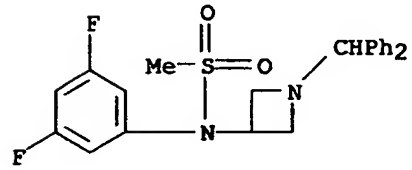


RN 358971-52-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl](methylsulfonyl)amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 358971-56-9 CAPLUS
CN Methanesulfonamide, N-(3,5-difluorophenyl)-N-[1-(diphenylmethyl)-3-azetidinyl]- (9CI) (CA INDEX NAME)

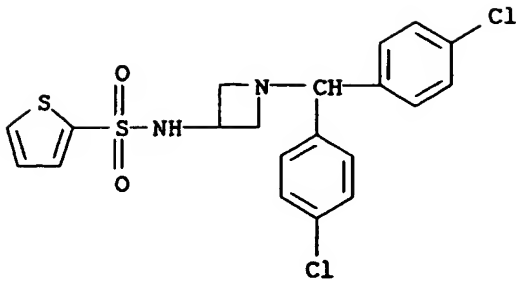


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

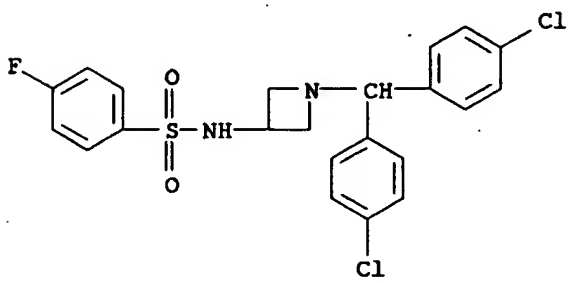
L4 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 2001:661382 CAPLUS
DN 135:226874
TI Preparation and formulation of 3-aminoazetidines for pharmaceutical use
IN Achard, Daniel; Bouchard, Herve; Bouquerel, Jean; Filoche, Bruno; Grisoni, Serge; Hittinger, Augustin; Myers, Michael
PA Aventis Pharma S.A., Fr.
SO PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001064633	A1	20010907	WO 2001-FR601	20010301
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2805810	A1	20010907	FR 2000-2777	20000303
PRAI FR 2000-2777	A	20000303		

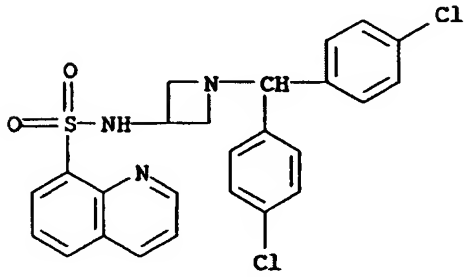
OS MARPAT 135:226874
AB 3-Aminoazetidines, such as I [R1, R2 = aryl, heteroaryl; R4 = alkyl, arylalkyl, cycloalkyl, heteroaryl, heteroarylalkyl, etc.; R5 = H, acyl, alkylsulfonyl, etc.], were prepd. for use as pharmaceuticals with potential usefulness in treating conditions such as neurol. disorders, cancer, immunol. disorders, and substance abuse. Thus, I (R2 = R3 = C6H4-4-Cl, R4 = thien-2-ylsulfonyl, R5 = H) was prepd. via a multistep synthetic sequence starting from epichlorohydrin, H2NCH(C6H4-4-Cl)2.HCl, and thien-2-ylsulfonyl chloride. Data for specific biol. activities were not given, however, pharmaceutical formulations for various means of delivery were presented.
IT **358971-84-3P 358972-01-7P 358972-02-8P**
358972-03-9P 358972-04-0P 358972-05-1P
358972-09-5P
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and formulation of 3-amino-azetidines for pharmaceutical use)
RN 358971-84-3 CAPLUS
CN 2-Thiophenesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]- (9CI) (CA INDEX NAME)



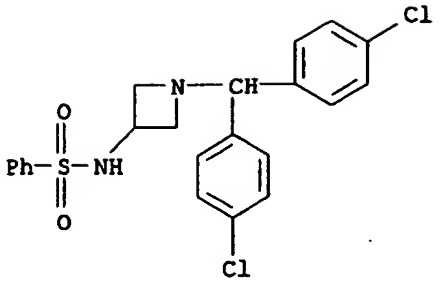
RN 358972-01-7 CAPLUS
CN Benzenesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-4-fluoro- (9CI) (CA INDEX NAME)



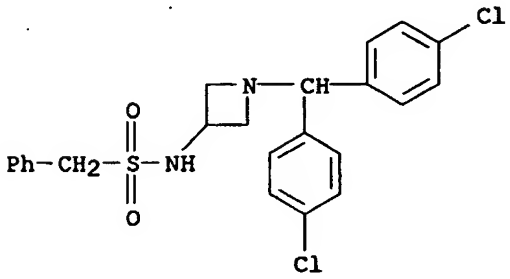
RN 358972-02-8 CAPLUS
CN 8-Quinolinesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]- (9CI) (CA INDEX NAME)



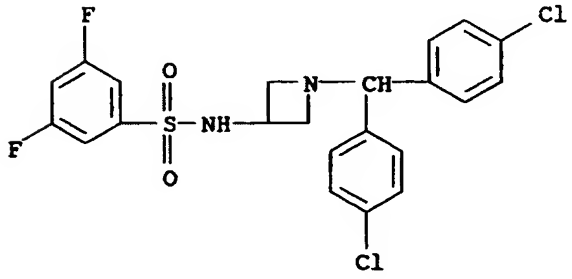
RN 358972-03-9 CAPLUS
CN Benzenesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]- (9CI) (CA INDEX NAME)



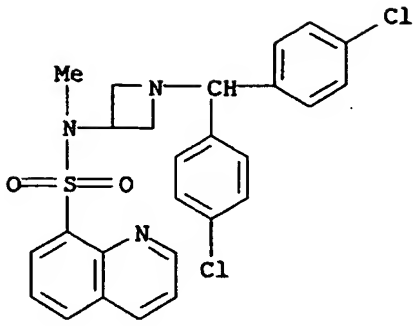
RN 358972-04-0 CAPLUS
CN Benzenemethanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]- (9CI) (CA INDEX NAME)



RN 358972-05-1 CAPLUS
CN Benzenesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-3,5-difluoro- (9CI) (CA INDEX NAME)



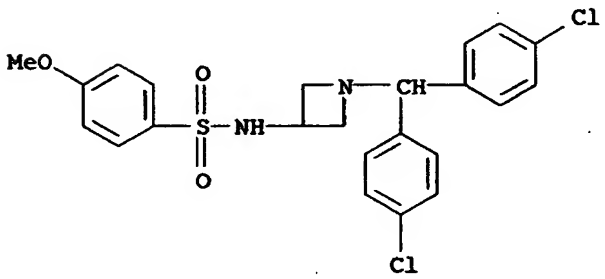
RN 358972-09-5 CAPLUS
CN 8-Quinolinesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-methyl- (9CI) (CA INDEX NAME)



IT **358971-86-5P 358971-87-6P 358971-88-7P**
358971-89-8P 358971-90-1P 358971-91-2P
358971-92-3P 358971-93-4P 358971-94-5P
358971-95-6P 358971-96-7P 358971-97-8P
358971-98-9P 358971-99-0P 358972-00-6P
358972-06-2P 358972-07-3P 358972-08-4P
358972-10-8P 358972-11-9P 358972-12-0P
358972-13-1P 358972-14-2P 358972-15-3P
358972-16-4P 358972-17-5P 358972-18-6P
358972-19-7P 358972-20-0P 358972-21-1P
358972-22-2P 358972-23-3P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and formulation of 3-amino-azetidines for pharmaceutical use)

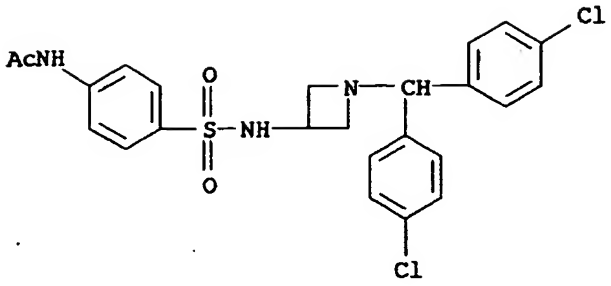
RN 358971-86-5 CAPLUS

CN Benzenesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-4-methoxy- (9CI) (CA INDEX NAME)

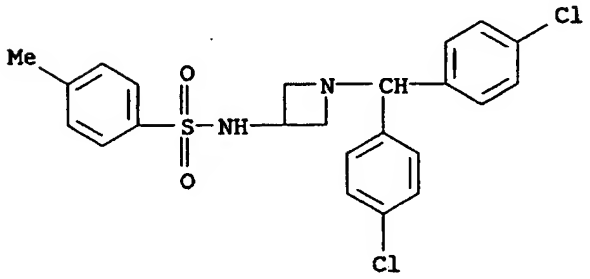


RN 358971-87-6 CAPLUS

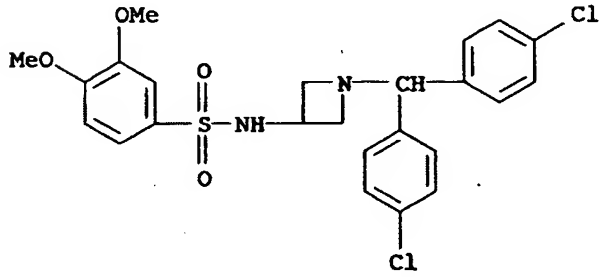
CN Acetamide, N-[4-[[[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



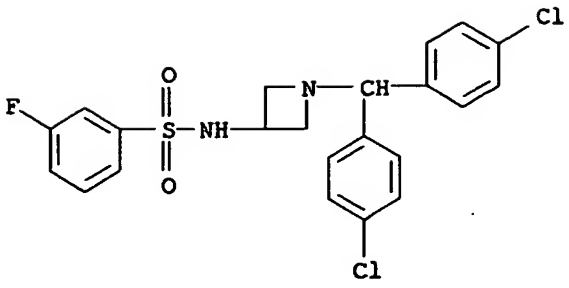
RN 358971-88-7 CAPLUS
CN Benzenesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-4-methyl- (9CI) (CA INDEX NAME)



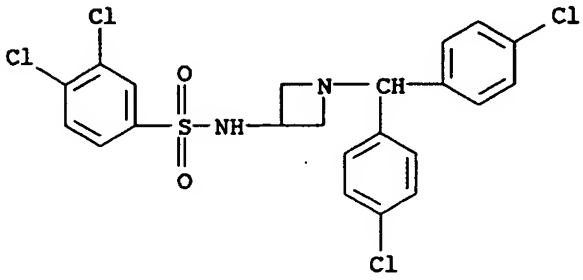
RN 358971-89-8 CAPLUS
CN Benzenesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-3,4-dimethoxy- (9CI) (CA INDEX NAME)



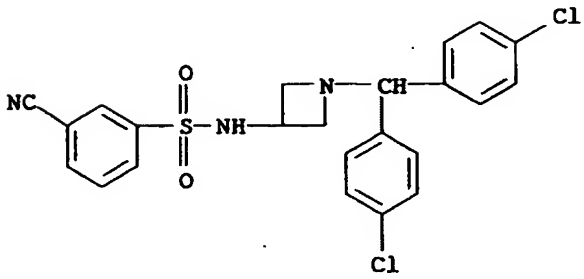
RN 358971-90-1 CAPLUS
CN Benzenesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-3-fluoro- (9CI) (CA INDEX NAME)



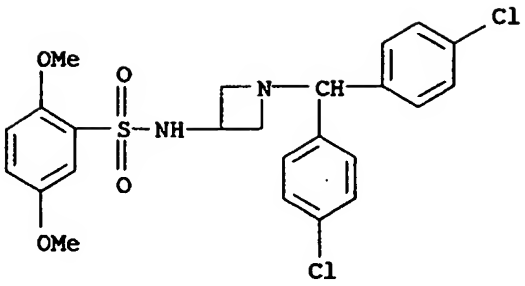
RN 358971-91-2 CAPLUS
CN Benzenesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-3,4-dichloro- (9CI) (CA INDEX NAME)



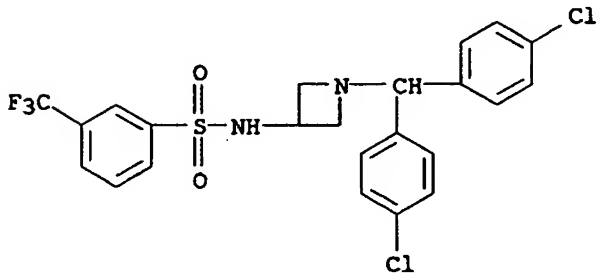
RN 358971-92-3 CAPLUS
CN Benzenesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-3-cyano- (9CI) (CA INDEX NAME)



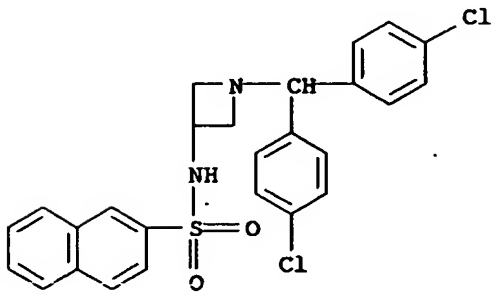
RN 358971-93-4 CAPLUS
CN Benzenesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-2,5-dimethoxy- (9CI) (CA INDEX NAME)



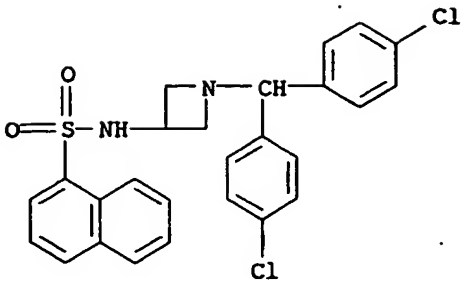
RN 358971-94-5 CAPLUS
CN Benzenesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



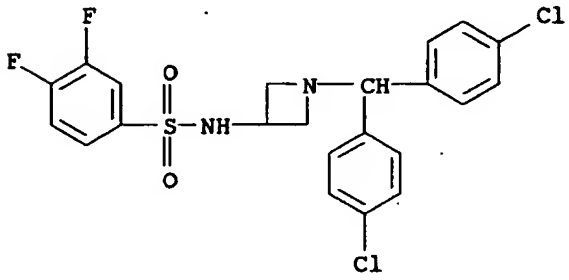
RN 358971-95-6 CAPLUS
CN 2-Naphthalenesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]- (9CI) (CA INDEX NAME)



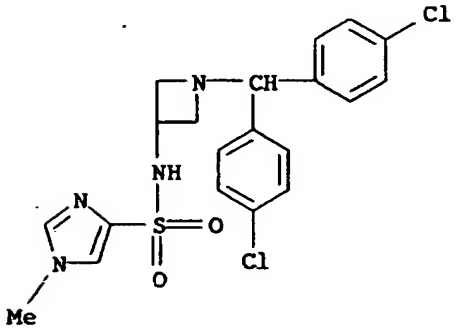
RN 358971-96-7 CAPLUS
CN 1-Naphthalenesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]- (9CI) (CA INDEX NAME)



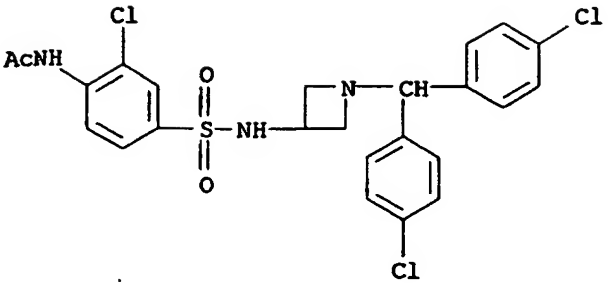
RN 358971-97-8 CAPLUS
CN Benzenesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-3,4-difluoro- (9CI) (CA INDEX NAME)



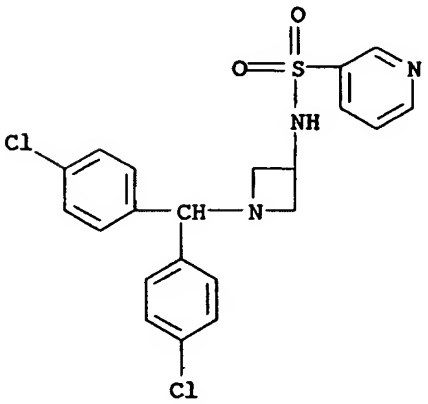
RN 358971-98-9 CAPLUS
CN 1H-Imidazole-4-sulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-1-methyl- (9CI) (CA INDEX NAME)



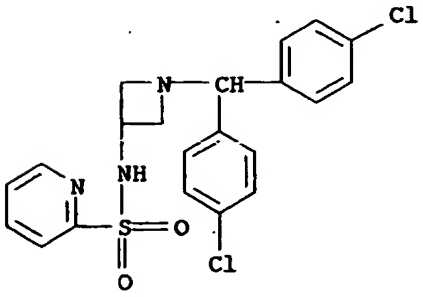
RN 358971-99-0 CAPLUS
CN Acetamide, N-[4-[[[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]amino]sulfonyl]-2-chlorophenyl]- (9CI) (CA INDEX NAME)



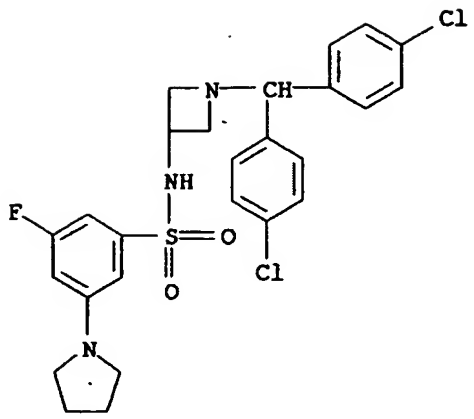
RN 358972-00-6 CAPLUS
CN 3-Pyridinesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-(9CI) (CA INDEX NAME)



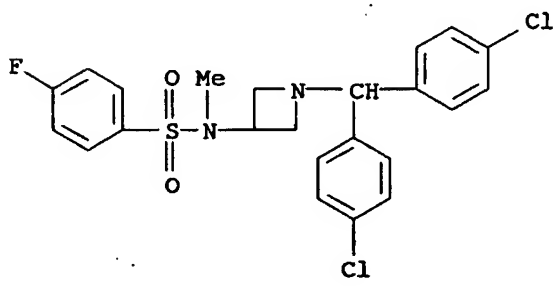
RN 358972-06-2 CAPLUS
CN 2-Pyridinesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-(9CI) (CA INDEX NAME)



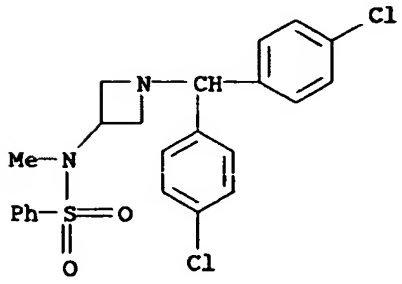
RN 358972-07-3 CAPLUS
CN Benzenesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-3-fluoro-5-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



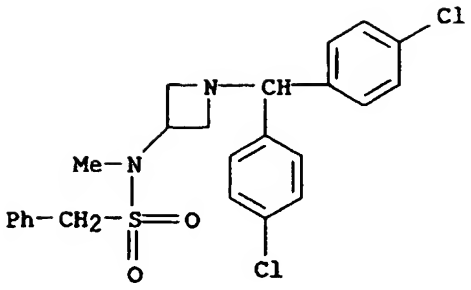
RN 358972-08-4 CAPLUS
CN Benzenesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-4-fluoro-N-methyl- (9CI) (CA INDEX NAME)



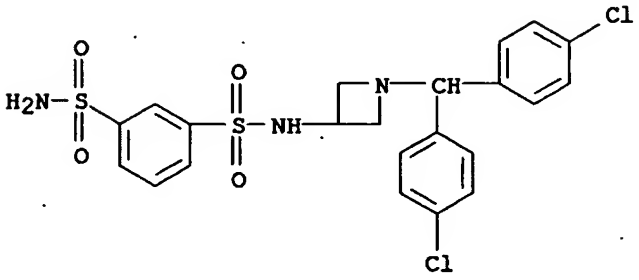
RN 358972-10-8 CAPLUS
CN Benzenesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-methyl- (9CI) (CA INDEX NAME)



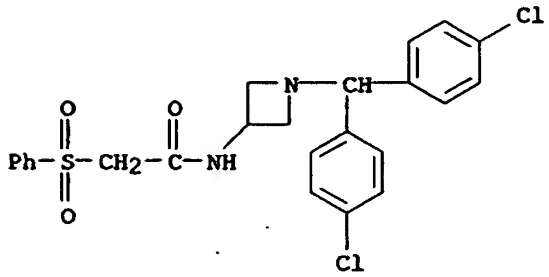
RN 358972-11-9 CAPLUS
CN Benzenemethanesulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-N-methyl- (9CI) (CA INDEX NAME)



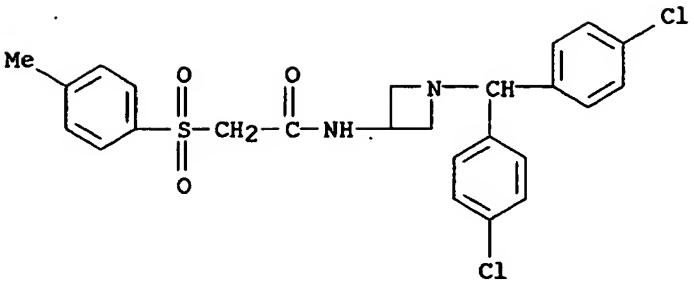
RN 358972-12-0 CAPLUS
CN 1,3-Benzenedisulfonamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-(9CI) (CA INDEX NAME)



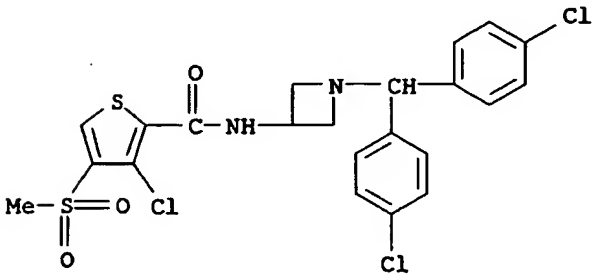
RN 358972-13-1 CAPLUS
CN Acetamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-2-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



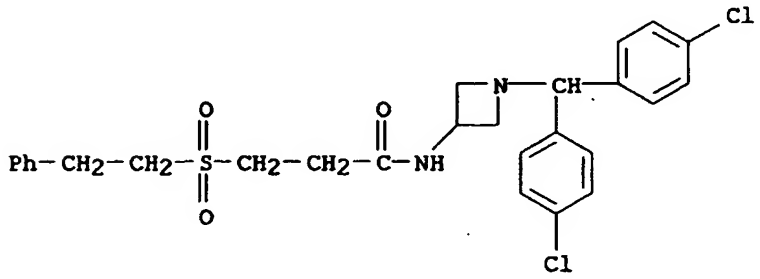
RN 358972-14-2 CAPLUS
CN Acetamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-2-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



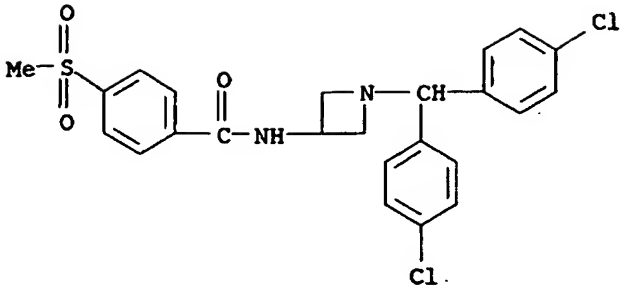
RN 358972-15-3 CAPLUS
CN 2-Thiophenecarboxamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-3-chloro-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



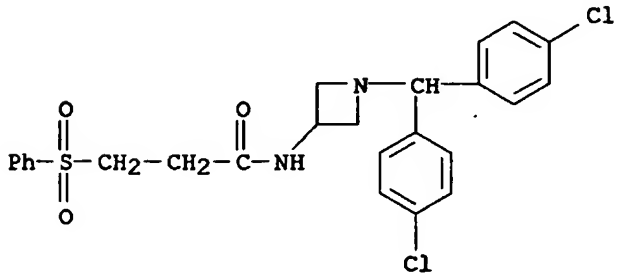
RN 358972-16-4 CAPLUS
CN Propanamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-3-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



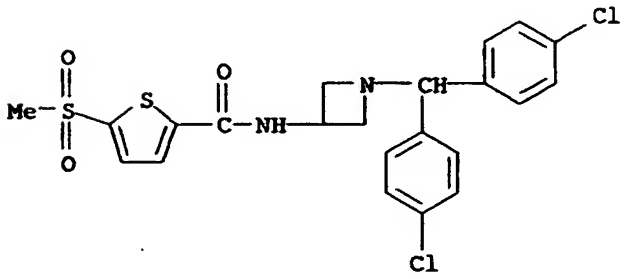
RN 358972-17-5 CAPLUS
CN Benzamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



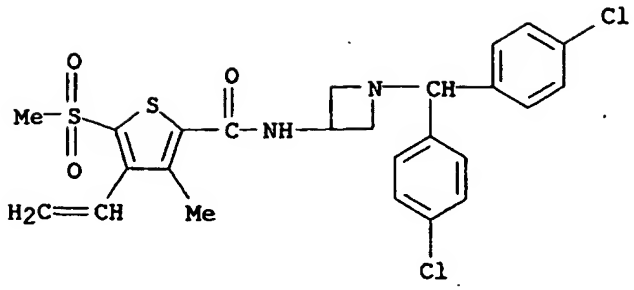
RN 358972-18-6 CAPLUS
CN Propanamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-3-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



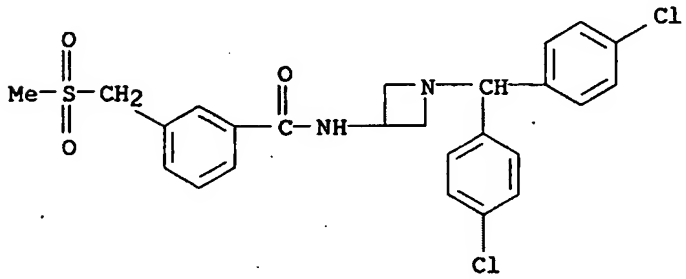
RN 358972-19-7 CAPLUS
CN 2-Thiophenecarboxamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)



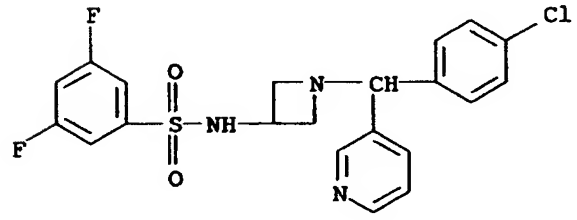
RN 358972-20-0 CAPLUS
CN 2-Thiophenecarboxamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-4-ethenyl-3-methyl-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)



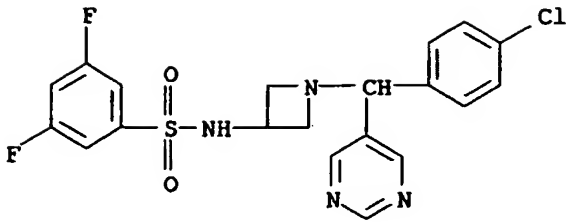
RN 358972-21-1 CAPLUS
CN Benzamide, N-[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl]-3-[(methylsulfonyl)methyl]- (9CI) (CA INDEX NAME)



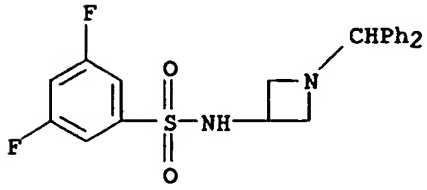
RN 358972-22-2 CAPLUS
CN Benzenesulfonamide, N-[1-[(4-chlorophenyl)-3-pyridinylmethyl]-3-azetidinyl]-3,5-difluoro- (9CI) (CA INDEX NAME)



RN 358972-23-3 CAPLUS
CN Benzenesulfonamide, N-[1-[(4-chlorophenyl)-5-pyrimidinylmethyl]-3-azetidinyl]-3,5-difluoro- (9CI) (CA INDEX NAME)



IT **358972-25-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and formulation of 3-amino-azetidines for pharmaceutical use)
RN 358972-25-5 CAPLUS
CN Benzenesulfonamide, N-[1-(diphenylmethyl)-3-azetidinyl]-3,5-difluoro-
(9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 2000:84802 CAPLUS
DN 132:137377
TI Preparation of benzoxazolyl piperidines and analogs as rotamase enzyme inhibitors
IN Kemp, Mark Ian; Palmer, Michael John; Sanner, Mark Allen; Wythes, Martin James
PA Pfizer Limited, UK; Pfizer Inc.
SO PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000005232	A1	20000203	WO 1999-IB1211	19990628
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9942858	A1	20000214	AU 1999-42858	19990628
	BR 9912330	A	20010417	BR 1999-12330	19990628
	EP 1100797	A1	20010523	EP 1999-963123	19990628
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	NO 2001000322	A	20010315	NO 2001-322	20010119
PRAI	GB 1998-15880	A	19980721		
	WO 1999-IB1211	W	19990628		

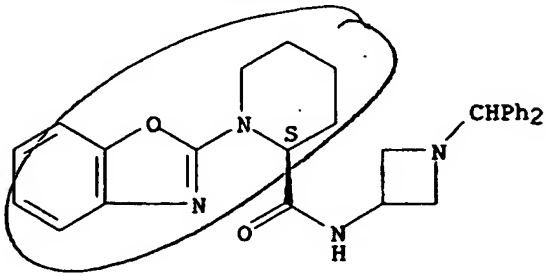
OS MARPAT 132:137377
AB Title compds. (I) [wherein A = (un)substituted unbranched C3-C5 alkylene; X and Y = independently O, S, NH, or N-alkyl; R = (un)substituted, C-linked, 4- to 6-membered, non-arom., heterocyclic ring contg. 1 N; R1-R4 = independently H, halo, (cyclo)alkyl, haloalkyl, (cyclo)alkoxy, CONR5R6, cycloalkylalkylene, cycloalkylalkoxy, or CO2R7; R5 and R6 = independently H, alkyl, or taken together = unbranched alkylene; R7 = alkyl] were prepd. as rotamase enzyme inhibitors, particularly FKBP-12 and FKBP-52 inhibitors. Thus, (2S)-1-(1,3-benzoxazol-2-yl)-2-piperidinecarboxylic acid (prepn. given) was amidated with (3S)-1-benzylpyrrolidine-3-ylamine in the presence of 1-hydroxybenzotriazole hydrate and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide.HCl in CH2Cl2 to yield II. Twenty-one compds. of the invention demonstrated inhibitory activity against human recombinant FKBP-12 in a coupled colorimetric PPIase in vitro assay with IC50 values below 1200 nM, and II inhibited the rotamase enzyme FKBP-52 in a similar assay with IC50 = 2790 nM. As neurotrophic agents, the invention compds. promote neuronal regeneration and outgrowth and are useful for the treatment of neurodegenerative diseases or other disorders involving nerve damage.
IT **256526-41-7P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of benzoxazolyl piperidine derivs. and analogs as FKBP inhibitors for the treatment of neuronal degeneration and

neurol. disorders)

RN 256526-41-7 CAPLUS

CN 2-Piperidinecarboxamide, 1-(2-benzoxazolyl)-N-[1-(diphenylmethyl)-3-azetidinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

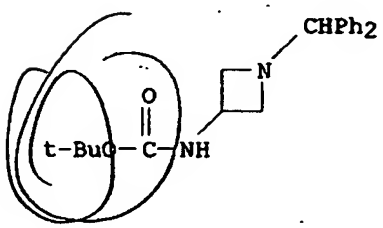


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 2000:84798 CAPLUS
DN 132:137383
TI Preparation of pyrazole derivatives as antitumor agents
IN Ejima, Akio; Ohsuki, Satoru; Ohki, Hitoshi; Naito, Hiroyuki; Makino, Chie
PA Daiichi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 189 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000005230	A1	20000203	WO 1999-JP3962	19990723
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9948002	A1	20000214	AU 1999-48002	19990723
	EP 1103551	A1	20010530	EP 1999-931515	19990723
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2000169475	A2	20000620	JP 1999-211211	19990726
	NO 2001000405	A	20010322	NO 2001-405	20010123
PRAI	JP 1998-208807	A	19980724		
	JP 1998-274459	A	19980929		
	WO 1999-JP3962	W	19990723		

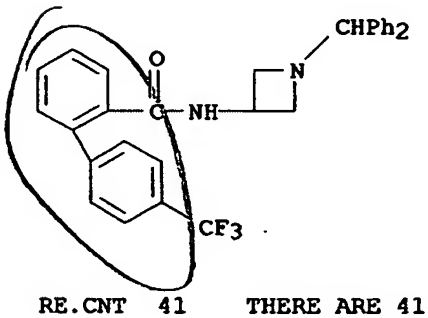
OS MARPAT 132:137383
AB The title compds. I [R1 = H, halo, etc.; R2 = H, halo, OH, etc.; R3 = H, amino, alkoxy, etc.; R4 = H, halo, alkylamino, etc.; R5 = H, alkyl, etc.; Q = heterocyclic ring, etc.; G = heterocyclic ring (further details on said ring are given)] are prepd. Compds. of this invention in vitro showed IC50 values of 0.6 ng/mL to 35 ng/mL against the growth of lung tumor cells.
IT **91189-18-3**
RL: RCT (Reactant)
(prepn. of pyrazole derivs. as antitumor agents)
RN 91189-18-3 CAPLUS
CN Carbamic acid, [1-(diphenylmethyl)-3-azetidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1999:205307 CAPLUS
DN 130:237457
TI Preparation of inhibitors of microsomal triglyceride transfer protein
IN Biller, Scott A.; Dickson, John K., Jr.
PA Bristol-Myers Squibb Company, USA
SO U.S., 123 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5885983	A	19990323	US 1997-847775	19970423

OS MARPAT 130:237457
AB Title compds., e.g., R1Z(CH2)nNR6Z1R5 [R1 = cycloalkylalkyl, (di)(aryl)alkyl, etc.; R5 = alk(en)yl, (hetero)aryl, etc.; R6 = H or alk(en)yl; Z = aziridine-1,3-diyl throughout; Z1 = CO or SO2; n = 0 or 1] were prepd. as inhibitors of microsomal triglyceride transfer protein (no data). Thus, Ph2CHZNH2 (prepn. given) was amidated by 4-(F3C)C6H4C6H4(CO2H)-2 and the deprotected product N-alkylated by CF3CH2NHCOZ2(CH2)5Br (Z2 = 9-fluorenylidene)(prepn. given) to give CF3CH2NHCOZ2(CH2)5ZNHCOC6H4[C6H4(CF3)-4]-2.
IT **199528-17-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of inhibitors of microsomal triglyceride transfer protein)
RN 199528-17-1 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[1-(diphenylmethyl)-3-azetidinyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

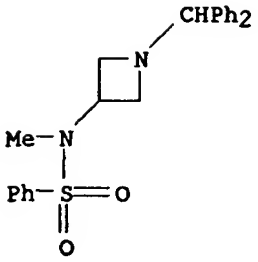


RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1999:48719 CAPLUS
DN 130:125092
TI (Azetidinylpropyl)piperidine derivatives, intermediates and use as tachykinin antagonists
IN Alker, David; Magee, Thomas Victor; Maw, Graham Nigel; Middleton, Donald Stuart
PA Pfizer Limited, UK; Pfizer Inc.
SO PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

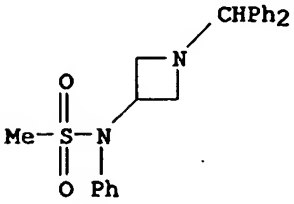
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9901451	A1	19990114	WO 1998-EP4177	19980701
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9888062	A1	19990125	AU 1998-88062	19980701
	AU 726708	B2	20001116		
	EP 1023285	A1	20000802	EP 1998-939619	19980701
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	JP 2000511207	T2	20000829	JP 1999-506382	19980701
	BR 9810544	A	20000905	BR 1998-10544	19980701
	US 6262046	B1	20010717	US 1999-423771	19991110
	NO 9906115	A	20000222	NO 1999-6115	19991210
PRAI	GB 1997-14129	A	19970704		
	WO 1998-EP4177	W	19980701		

OS MARPAT 130:125092
AB Title compds., e.g., I, were prepd. as tachykinin inhibitors which act at the NK1, NK2, and NK3 receptors or a combination of two or more thereof. Thus, reaction of 11.62 g piperidinepropanol mesylate II with 14 g azetidinylpiperazinecarboxylate III in the presence of 10.23 g K2CO3 in 300 mL dry MeCN at reflux for 18 h gave 15.36 g I. Three other products were subjected to in vitro tests of affinity for the guinea pig cortex NK3 receptor, and the results (pIC50 values) were 8.8, 7.95, and 8.4.
IT **219725-72-1P 219725-74-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
((azetidinylpropyl)piperidine derivs. as tachykinin antagonists)
RN 219725-72-1 CAPLUS
CN Benzenesulfonamide, N-[1-(diphenylmethyl)-3-azetidinyl]-N-methyl- (9CI) (CA INDEX NAME)



Intermediate

RN 219725-74-3 CAPLUS
CN Methanesulfonamide, N-[1-(diphenylmethyl)-3-azetidinyl]-N-phenyl- (9CI) (CA INDEX NAME)

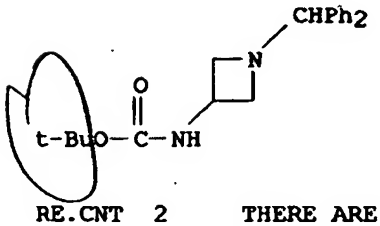


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1999:9703 CAPLUS
DN 130:81404
TI Piperidinylazacycloalkylmethylureas as .alpha.1A adrenergic receptor antagonists
IN Patane, Michael A.; Bock, Mark G.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9857640	A1	19981223	WO 1998-US12672	19980618
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	EP 1019052	A1	20000719	EP 1998-931353	19980617
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	US 6143750	A	20001107	US 1998-98780	19980617
	AU 9881501	A1	19990104	AU 1998-81501	19980618
PRAI	US 1997-50960	P	19970618		
	GB 1998-231	A	19980106		
	WO 1998-US12672	W	19980618		

OS MARPAT 130:81404
AB This invention relates to nitrogen contg. heterocyclic compds. and derivs. thereof, their synthesis, and their use as .alpha.1A adrenoceptor antagonists useful for treating benign prostatic hyperplasia (no data). Thus, the urea I was prepd. from 4-aminomethylpiperidine, 1-(2-cyanophenyl)-4-piperidinone, and the nitrobenzoate-protected pyrimidine fragment.
IT **91189-18-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of piperidinylazacycloalkylmethylureas as .alpha.1A adrenergic receptor antagonists)
RN 91189-18-3 CAPLUS
CN Carbamic acid, [1-(diphenylmethyl)-3-azetidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

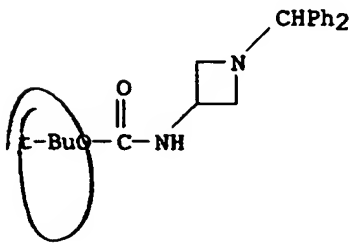


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1999:3288 CAPLUS
DN 130:66390
TI Preparation of 1-benzenesulfonyl-1,3-dihydroindol-2-ones as vasopressin and/or oxytocin antagonists
IN Di Malta, Alain; Foulon, Loic; Garcia, Georges; Nisato, Dino; Roux, Richard; Serradeil-Legal, Claudine; Valette, Gerard; Wagnon, Jean
PA Sanofi, Fr.
SO U.S., 53 pp., Cont.-in-part of U.S. Ser. No. 129,310, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5849780	A	19981215	US 1994-323921	19941017
	FR 2686878	A1	19930806	FR 1992-1034	19920130
	FR 2686878	B1	19950630		
	FR 2708605	A1	19950210	FR 1993-9404	19930730
	EP 636608	A1	19950201	EP 1994-401737	19940728
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	US 5663431	A	19970902	US 1995-477571	19950607
	US 5686624	A	19971111	US 1995-473302	19950607
	US 5728723	A	19980317	US 1995-478738	19950607
	US 5726322	A	19980310	US 1997-824305	19970326
PRAI	FR 1992-1034	A	19920130		
	FR 1993-9404	A	19930730		
	US 1993-129310	B2	19930930		
	EP 1994-401737	A	19940728		
	US 1994-323921	A3	19941017		
	US 1995-473302	A3	19950607		

OS MARPAT 130:66390
AB The title compds. [I; R1, R2 = H, OH, halo, etc.; R3R4 together with the carbon to which they are bonded = an optionally fused, (un)satd. (un)substituted C3-12 hydrocarbon ring; R5, R6 = H, halo, C1-7 alkyl, etc.; m = 1-4], having an affinity for the vasopressin V1 and V2 and/or oxytocin receptors, were prepd. Thus, treatment of 5-chloro-1,3-dihydro-3-spirocyclohexaneindol-2-one with NaH in THF followed by addn. of 2-methoxy-4-nitrobenzenesulfonyl chloride afforded I [R1 = 5-Cl; R2 = H; R3R4 = (CH2)5; R5 = 2-MeO; R6 = 4-NO2]. Biol. data for compds. I are given.
IT **91189-18-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 1-benzenesulfonyl-1,3-dihydroindol-2-ones as vasopressin and/or oxytocin antagonists)
RN 91189-18-3 CAPLUS
CN Carbamic acid, [1-(diphenylmethyl)-3-azetidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

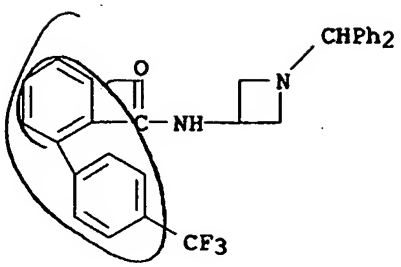


RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

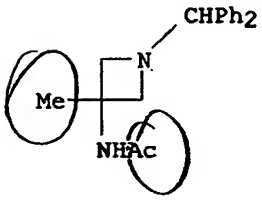
L4 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1997:752929 CAPLUS
DN 128:34673
TI Azetidine derivatives as inhibitors of microsomal triglyceride transfer protein and method of their use as antiatherosclerotics
IN Biller, Scott A.; Dickson, John K. Jr
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9743255	A1	19971120	WO 1997-US7604	19970506
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9729331	A1	19971205	AU 1997-29331	19970506
PRAI	US 1996-17254		19960510		
	WO 1997-US7604		19970506		

OS MARPAT 128:34673
AB Compds. are provided which inhibit microsomal triglyceride transfer protein (no data) and thus are useful for lowering serum lipids and treating atherosclerosis and related diseases. The compds. have structures I or II [wherein Q = CO or SO2, X = CHR8, CO, CHR9CHR10, CR9:CR10; n = 0 or 1; R1 = (un)substituted alk(en/yn)yl, (hetero)aryl, fluorenyl and analogs, indenyl and analogs, etc.; R2, R3, R4 = H, halo, alk(en)yl, alkoxy, (hetero)aryl, OH, etc.; R5 = alk(en/yn)yl, aryl, alkoxy, (un)substituted amino, etc.; R6 = H, (un)substituted alk(en)yl; R8, R9, R10 = H, alk(en/yn)yl, (hetero)aryl, etc.]. Examples include 6 syntheses with phys. characterization of products, and 470 prophetic example compds. For instance, 1-(diphenylmethyl)-4-aminoazetidine (prepn. given) underwent amidation with 4'-CF3C6H4C6H4CO2H-2 using EDC and HOBt (95%), followed by hydrogenolysis of the diphenylmethyl group and N-alkylation with 9-(5-bromopentyl)-N-(2,2,2-trifluoroethyl)-9H-fluorene-9-carboxamide, to give title compd. III (56%), isolated as the hydrochloride (96%).
IT **199528-17-1P**, N-[1-(Diphenylmethyl)azetidin-3-yl]-2-[4-(trifluoromethyl)phenyl]benzamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate; prepn. of azetidine derivs. as inhibitors of microsomal triglyceride transfer protein)
RN 199528-17-1 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[1-(diphenylmethyl)-3-azetidinyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)


CHPh2
O
N
C
NH
CF3

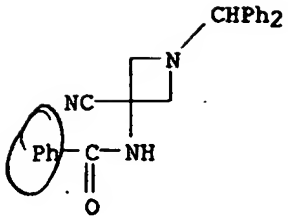
L4 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1996:132036 CAPLUS
DN 124:233134
TI On the Ritter reaction of cyclic hydroxyamines: synthesis of conformationally-restricted reduced amide dipeptide isosteres
AU Taylor, G. Mark; Baker, Stewart J.; Gedney, Andrea; Pearson, David J.; Sibley, Graham E. M.
CS Roche Res. Center, Welwyn Garden City, Hertfordshire, AL7 3AY, UK
SO Tetrahedron Lett. (1996), 37(8), 1297-300
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 124:233134
AB The Ritter reactions of 3-alkyl-3-hydroxyazetidine or piperidine derivs. give low yields of the desired products, whereas the 3-alkyl-3-hydroxy-pyrrolidine and 4-alkyl-4-hydroxypiperidine derivs., e.g. I and II (R1 = CH2Ph, R2 = Me) react smoothly to give the corresponding acetamides. Removal of the benzyl protecting group and alkylation of the secondary amine furnish target isostere III [R2 = Me, R3 = (S)-CH(CH3)CO2Me]. An alternative route to 3-acylamino-3-alkylpiperidines, e.g. IV [R2 = Me, R3 = (S)-CH(CH3)CO2Me] which were designed as conformationally-restricted reduced amide dipeptide isosteres, was devised from nipecotic acid via a Hofmann rearrangement.
IT **174543-77-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of conformationally restricted reduced amide dipeptide isosteres via Ritter reactions of cyclic hydroxyamines)
RN 174543-77-2 CAPLUS
CN Acetamide, N-[1-(diphenylmethyl)-3-methyl-3-azetidinyl]- (9CI) (CA INDEX NAME)



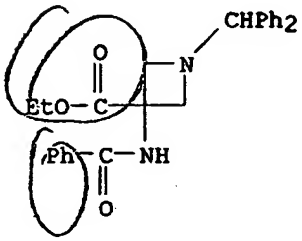
L4 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1995:518562 CAPLUS
DN 122:265399
TI Preparation of 8-methoxyquinolonecarboxylic acid derivatives as antibacterial agents
IN Saito, Akira; Uesato, Shin-ichi; Iwata, Hiromitsu; Ao, Hideki; Kuroda, Tsuyoshi; Kawasaki, Kazuyuki; Moriguchi, Akihiko; Ikeda, Yoshifumi
PA Japan Tobacco, Inc., Japan; Yoshitomi Pharmaceutical Industries, Ltd.
SO PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9414794	A1	19940707	WO 1993-JP1925	19931228
	W: CA, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2152828	AA	19940707	CA 1993-2152828	19931228
	EP 677522	A1	19951018	EP 1994-903097	19931228
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	US 5677316	A	19971014	US 1995-473357	19950607
PRAI	JP 1992-358515		19921228		
	JP 1993-82721		19930316		
	JP 1993-188904		19930630		
	WO 1993-JP1925		19931228		

OS MARPAT 122:265399
AB 1-Cyclopropyl-6-fluoro-7-(3-fluoromethylpyrrolidin-1-yl)-8-methoxy--1,4-dihydro-4-oxoquinolinecarboxylic acid derivs. (I; R1 = H, lower alkyl, phenylalkyl, or an in vivo hydrolyzable ester residue; R2 = H, Me; n = 0, 1), optical isomers, pharmaceutically acceptable salts, and hydrates thereof, are prepd. These derives. I have a wide antimicrobial spectrum based on the activity potentiated in vitro and in vivo against gram-pos. bacteria, particularly methicillin- or quinolone-resistant Staphylococcus aureus, while retaining the potent antibacterial activity of the conventional quinolonecarboxylate bactericides against gram-neg. bacteria. Since I scarcely have problematic side effects and are reduced in toxicity, I are promising as bactericides having more excellent clin. effects. Thus, 3-amino-3-(fluoromethyl)pyrrolidine, 1-cyclopropyl-6,7-difluoro-1,4-dihydro-8-methoxy-4-oxo-8-quinolinecarboxylic acid.BF2 complex, and Et3N were dissolved in MeCN and the resulting soln. was stirred at room temp. for 20 h followed by treating the resulting BF2 chelate with Et3N in aq. MeOH and recrystn. from aq. NH3 in MeOH to give I (R1 = R2 = H, n = 0) (II). II showed min. inhibitory concn. of .ltoreq.0.006 and 0.39 .mu.g/mL against Staphylococcus aureus FDA 209P and methicillin-resistant S. aureus N0.88, resp., vs. 50 .mu.g/mL for ofloxacin.
IT **162685-46-3P 162685-47-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate for prepn. of 8-methoxyquinolonecarboxylic acid derivs. as antibacterial agents)
RN 162685-46-3 CAPLUS
CN Benzamide, N-[3-cyano-1-(diphenylmethyl)-3-azetidinyl]- (9CI) (CA INDEX NAME)



RN 162685-47-4 CAPLUS
CN 3-Azetidinecarboxylic acid, 3-(benzoylamino)-1-(diphenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

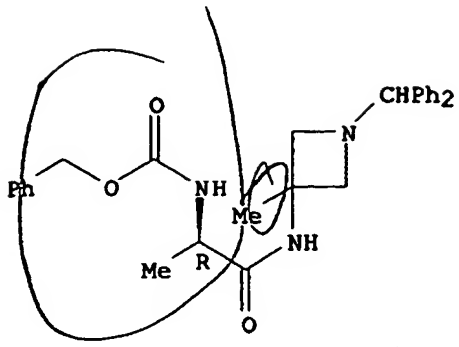


L4 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1993:473104 CAPLUS
DN 119:73104
TI Preparation of [(aminoacyl)amino(alkyl)]azetidine derivatives as antibacterials.
IN Corbera-Arjona, Jordi; Frigola-Constansa, Jordi; Pares-Corominas, Juan
PA Laboratorios del Dr. Esteve, S. A., Spain
SO Eur. Pat. Appl., 43 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

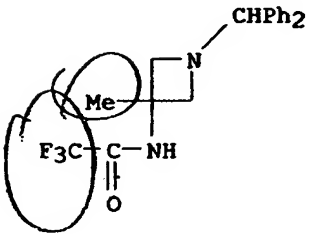
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 514268	A1	19921119	EP 1992-401318	19920514
	R: AT, BE, CH, DE, DK, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
	FR 2676445	A1	19921120	FR 1991-5937	19910516
	FR 2676445	B1	19950203		
	NO 9201908	A	19921117	NO 1992-1908	19920514
	AU 9216249	A1	19921119	AU 1992-16249	19920514
	AU 660311	B2	19950622		
	ES 2039192	A1	19930901	ES 1992-994	19920514
	ES 2039192	B1	19940401		
	CA 2068853	AA	19921117	CA 1992-2068853	19920515
	HU 61304	A2	19921228	HU 1992-1621	19920515
	ZA 9203538	A	19930127	ZA 1992-3538	19920515
	JP 05132479	A2	19930528	JP 1992-123901	19920515
	CN 1066656	A	19921202	CN 1992-103602	19920516
PRAI	FR 1991-5937		19910516		

OS MARPAT 119:73104
AB The title compds. [I; X = N, CH, etc.; R1 = alkyl, cycloalkyl, etc.; R2, R8 = H, alkyl; R3 = OH, alkoxy; R4 = H, F, alkyl, etc.; R5, R6, R7 = H, alkyl; n = 0, 1; A = amino acid residue, peptide residue; with provisos] was prepd. (2S,3R)-I [R1 = cyclopropyl, R2 = R4 = R6 = R7 = R8 = A = H, R3 = OH, R5 = Me, n = 0, X = N] was acylated with N-(benzyloxycarbonylalanyloxy)succinimide in DMF contg. N-methylmorpholine to give I [R1 = cyclopropyl, R2 = R4 = R6 = R7 = R8 = H, A = benzyloxycarbonylalanyl, R3 = OH, R5 = Me, n = 0, X = N], whose deprotection followed by treatment with HCl gave I [R1 = cyclopropyl, R2 = R4 = R6 = R7 = R8 = H, A = H-Ala, R3 = OH, R5 = Me, n = 0, X = N].HCl. The antibacterial activity of this at a concn. of 0.12 .mu.g/mL) was comparable to that of pipemidic acid at 8 mu/mL. Formulations contg. I are given.
IT **147906-32-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for antibacterials)
RN 147906-32-9 CAPLUS
CN Carbamic acid, [2-[[1-(diphenylmethyl)-3-methyl-3-azetidinyl]amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

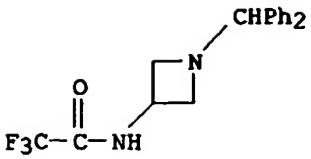

CHPh2
N
O
Ph
O
NH
Me
NH
Me
R
O

L4 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1993:233843 CAPLUS
DN 118:233843
TI 7-Azetidinylquinolones as antibacterial agents. Synthesis and structure-activity relationships
AU Frigola, Jordi; Pares, Juan; Corbera, Jordi; Vano, David; Merce, Ramon; Torrens, Antoni; Mas, Josep; Valenti, Eduard
CS Dep. Med. Chem., Lab. Dr. Esteve, S. A., Barcelona, 08026, Spain
SO J. Med. Chem. (1993), 36(7), 801-10
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB A series of novel antibacterial quinolones and naphthyridones I (X = CH, CF, CCl,N; R = cyclopropyl, Et, Me3C, 2,4-F2C6H3, FCH2CH2, 4-FC6H4; R1 = H; R2 = OH; R1R2 = SNH; R3 = H, NH2; R4 = H, OH, NH2, NMe2, etc. R5 = H, Me, Et) were prepd. which contain 7-azetidinyl substituents in place of the usual piperazine or aminopyrrolidine groups. These azetidinyl derivs. were evaluated for in vitro activity by detg. min. inhibitory concns. against a variety of bacteria. In vivo efficacy in the mouse infection model and blood levels in the mouse were detd. for several compds. The influence on the structure-activity relationships of varying substituents in the azetidine ring and at position 8 (X = CH, CF, CCl, N) and N-1 (R = Et, fluoroethyl, cyclopropyl, tert-Bu, 4-fluorophenyl, and 2,4-difluorophenyl) was also studied. Compds. with outstandingly broad-spectrum activity, particularly against Gram-pos. organisms, improved in vivo efficacy, and high blood levels were identified in this work. 7-Azetidinyl-8-chloroquinolones were considered as warranting further development.
IT **133891-69-7P 147280-19-1P 147293-68-3P**
147293-69-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrogenolysis of)
RN 133891-69-7 CAPLUS
CN Acetamide, N-[1-(diphenylmethyl)-3-methyl-3-azetidinyl]-2,2,2-trifluoro-, monohydrochloride (9CI) (CA INDEX NAME)



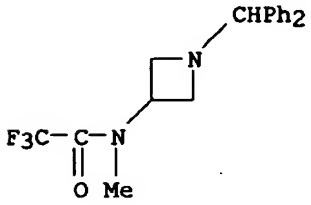
⊕ HCl⊖

RN 147280-19-1 CAPLUS
CN Acetamide, N-[1-(diphenylmethyl)-3-azetidinyl]-2,2,2-trifluoro-, monohydrochloride (9CI) (CA INDEX NAME)

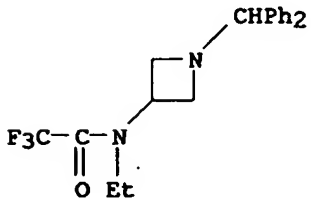


● HCl

RN 147293-68-3 CAPLUS
CN Acetamide, N-[1-(diphenylmethyl)-3-azetidinyl]-2,2,2-trifluoro-N-methyl-
(9CI) (CA INDEX NAME)



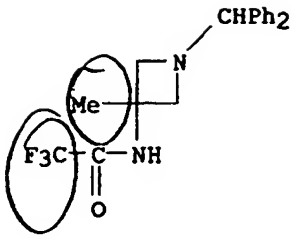
RN 147293-69-4 CAPLUS
CN Acetamide, N-[1-(diphenylmethyl)-3-azetidinyl]-N-ethyl-2,2,2-trifluoro-
(9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1991:247111 CAPLUS
DN 114:247111
TI Preparation of azetidines as intermediates for antibacterials
IN Frigola-Constansa, Jordi; Colombo-Pinol, Augusto; Pares-Corominas, Juan
PA Laboratorios del Dr. Esteve S.A., Spain
SO Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

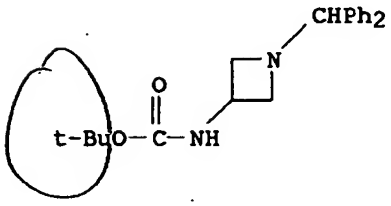
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 406112	A1	19910102	EP 1990-401860	19900628
	EP 406112	B1	19941228		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	FR 2649100	A1	19910104	FR 1989-8696	19890629
	FR 2649100	B1	19940304		
	US 5073646	A	19911217	US 1990-541056	19900620
	NO 9002866	A	19910102	NO 1990-2866	19900627
	NO 175778	B	19940829		
	NO 175778	C	19941207		
	AU 9057937	A1	19910103	AU 1990-57937	19900627
	AU 622332	B2	19920402		
	CA 2020097	AA	19901230	CA 1990-2020097	19900628
	CA 2020097	C	19970930		
	HU 54641	A2	19910328	HU 1990-4024	19900628
	HU 208808	B	19940128		
	ZA 9005044	A	19910529	ZA 1990-5044	19900628
	DD 298911	A5	19920319	DD 1990-342262	19900628
	RU 2002739	C1	19931115	RU 1990-4830563	19900628
	JP 03038565	A2	19910219	JP 1990-174318	19900629
	ES 2029160	A6	19920716	ES 1990-1914	19900629
PRAI	FR 1989-8696		19890629		
	FR 1989-8698		19890629		

OS CASREACT 114:247111; MARPAT 114:247111
AB The title compds. (I; R3 = amino, alkylamino, dialkylamino, cycloalkylamino, etc.; R1, R2, R4-R6 = H, alkyl; .gtoreq.1 of R1, R2, R4-R6 = alkyl), useful as intermediates in the synthesis of antibacterial fluoroquinolones, were prepd. Treatment of trans-1-diphenylmethyl-3-hydroxy-2-methylazetidine with MeSO2Cl in the presence of Et3N, followed by treatment with aq. NH3, gave trans-I (R1 = Me; R3 = NH2; R2 = R4 = R5 = R6 = H).
IT **133891-69-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for antibacterials)
RN 133891-69-7 CAPLUS
CN Acetamide, N-[1-(diphenylmethyl)-3-methyl-3-azetidinyl]-2,2,2-trifluoro-, monohydrochloride (9CI) (CA INDEX NAME)

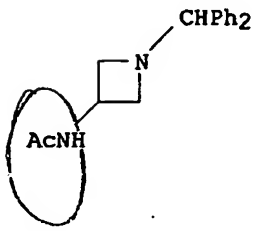


● HCl

L4 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1988:112023 CAPLUS
DN 108:112023
TI Semisynthetic .beta.-lactam antibiotics. III. Synthesis and antibacterial activity of 7.beta.-[2-(2-aminothiazol-4-yl)-2-(substituted carbamoylmethoxyimino)acetamido]cephalosporins
AU Arimoto, Masahiro; Hayano, Takeshi; Soga, Tsunehiko; Yoshioka, Toshiyuki; Tagawa, Hiroaki; Furukawa, Minoru
CS Res. Inst., Daiichi Seiyaku Co., Ltd., Tokyo, 134, Japan
SO J. Antibiot. (1986), 39(9), 1243-56
CODEN: JANTAJ; ISSN: 0021-8820
DT Journal
LA English
OS CASREACT 108:112023
AB Cephalosporins, e.g., I (R = H, CONH2, Ac, COCONH2), were prepd. and the effects on antibacterial activity by different substituents of the carbamoyl group detd. I (R = H) showed high antibacterial activity vs. Gram-pos. and Gram-neg. bacteria, including Pseudomonas aeruginosa, as well as good resistance to .beta.-lactamase.
IT **91189-18-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and debenzylation of)
RN 91189-18-3 CAPLUS
CN Carbamic acid, [1-(diphenylmethyl)-3-azetidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1986:207074 CAPLUS
DN 104:207074
TI Synthesis of 3-aminoazetidine
AU Nisato, Dino; Frigerio, Marco
CS Cent. Rech. Clin Midy, Sanofi Rech., Montpellier, 34082, Fr.
SO J. Heterocycl. Chem. (1985), 22(4), 961-3
CODEN: JHTCAD; ISSN: 0022-152X
DT Journal
LA French
OS CASREACT 104:207074
AB The azitidine I (R = Ph2CH, R1 = MeSO3) underwent phase-transfer catalyzed substitution with K phthalimide to give I (R = Ph2CH, R1 = phthalimido), which underwent hydrazinolysis followed by hydrogenolysis to give I (R = H, R1 = NH2). I (R = H, R1 = AcNH) was also prepd.
IT **102065-87-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrogenolysis of)
RN 102065-87-2 CAPLUS
CN Acetamide, N-[1-(diphenylmethyl)-3-azetidinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1986:34013 CAPLUS
DN 104:34013
TI 7-Substituted-1-cyclopropyl-6,8-difluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acids; 7-substituted-1-cyclopropyl-1,4-dihydro-6-fluoro-4-oxo-1,8-naphthyridine-3-carboxylic acids and their derivatives
IN Culbertson, Townley P.; Mich, Thomas F.; Domagala, John M.; Nichols, Jeffrey B.
PA Warner-Lambert Co. , USA
SO Eur. Pat. Appl., 137 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

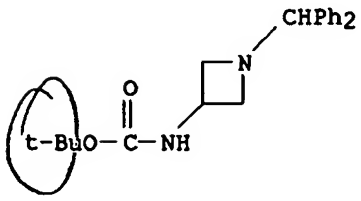
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 153163	A2	19850828	EP 1985-301009	19850215
	EP 153163	A3	19860129		
	EP 153163	B1	19891227		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	US 4665079	A	19870512	US 1985-692820	19850123
	ZA 8500854	A	19860924	ZA 1985-854	19850204
	CA 1289956	A1	19911001	CA 1985-473502	19850204
	IL 74286	A1	19880731	IL 1985-74286	19850208
	AU 8538618	A1	19850822	AU 1985-38618	19850211
	AU 568004	B2	19871210		
	DK 8500687	A	19850818	DK 1985-687	19850214
	DK 161889	B	19910826		
	DK 161889	C	19920203		
	FI 8500631	A	19850818	FI 1985-631	19850215
	FI 83312	B	19910315		
	FI 83312	C	19910625		
	NO 8500614	A	19850819	NO 1985-614	19850215
	NO 161370	B	19890502		
	NO 161370	C	19890809		
	JP 60214773	A2	19851028	JP 1985-26669	19850215
	JP 07055945	B4	19950614		
	HU 37149	O	19851128	HU 1985-580	19850215
	ES 540441	A1	19870501	ES 1985-540441	19850215
	AT 48997	E	19900115	AT 1985-301009	19850215
	JP 07173160	A2	19950711	JP 1994-278595	19941019
PRAI	US 1984-581157		19840217		
	US 1985-692820		19850123		
	US 1982-416406		19820909		
	US 1983-522275		19830812		
	IL 1983-69601		19830830		
	EP 1985-301009		19850215		

OS CASREACT 104:34013
AB The title compds. (I; X = FC, N; R1 = H, alkyl, cation; R2 = amino, heterocyclyl) were prepd. Thus, 2,3,4,5-F4C6HCO2H was converted to its acid chloride and condensed with EtO2CCH2CO2H to give 2,3,4,5-F4C6HCOCH2CO2H. This was cyclocondensed with (EtO)3CH and cyclopropylamine to give I (X = FC, R1 = H, R2 = F). The latter was treated with 3-pyrrolidinemethanamine to give 7-[3-(aminomethyl)-1-pyrrolidinyl]-3-quinolinecarboxylic acid deriv. II. II had a min. inhibitory concn. of <0.1 .mu.g/mL against, e.g., Escherichia coli Vogel.
IT **91189-18-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and benzhydryl group removal from)

RN 91189-18-3 CAPLUS

CN Carbamic acid, [1-(diphenylmethyl)-3-azetidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1984:472740 CAPLUS
DN 101:72740
TI Antibacterial agents
IN Culbertson, Townley P.; Mich, Thomas F.; Domagala, John M.; Nichols, Jeffrey B.
PA Warner-Lambert Co. , USA
SO Eur. Pat. Appl., 125 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 106489	A2	19840425	EP 1983-305148	19830906
EP 106489	A3	19850424		
EP 106489	B1	19880727		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
ZA 8306357	A	19840425	ZA 1983-6357	19830826
IL 69601	A1	19870831	IL 1983-69601	19830830
IL 80848	A1	19880930	IL 1983-80848	19830830
IL 80849	A1	19881031	IL 1983-80849	19830830
FI 8303151	A	19840310	FI 1983-3151	19830905
FI 83513	B	19910415		
FI 83513	C	19910725		
AU 8318698	A1	19840315	AU 1983-18698	19830905
AU 562286	B2	19870604		
AT 35987	E	19880815	AT 1983-305148	19830906
CS 246065	B2	19861016	CS 1983-6498	19830907
DK 8304074	A	19840310	DK 1983-4074	19830908
DK 171098	B1	19960603		
NO 8303206	A	19840312	NO 1983-3206	19830908
NO 164418	B	19900625		
NO 164418	C	19901003		
JP 59067269	A2	19840416	JP 1983-164271	19830908
JP 07042284	B4	19950510		
HU 31718	O	19840528	HU 1983-3140	19830908
HU 196986	B	19890228		
DD 216010	A5	19841128	DD 1983-254624	19830908
ES 525493	A1	19850116	ES 1983-525493	19830908
SU 1360584	A3	19871215	SU 1983-3659624	19831103
ES 529934	A1	19850601	ES 1984-529934	19840222
ES 529936	A1	19850616	ES 1984-529936	19840222
ES 529937	A1	19850616	ES 1984-529937	19840222
ES 529935	A1	19850701	ES 1984-529935	19840222
ES 529933	A1	19851016	ES 1984-529933	19840222
SU 1321376	A3	19870630	SU 1984-3732809	19840427
SU 1314954	A3	19870530	SU 1984-3736502	19840503
CS 246083	B2	19861016	CS 1984-4630	19840618
CS 246084	B2	19861016	CS 1984-4631	19840618
CS 247180	B2	19861218	CS 1984-4632	19840618
JP 01146880	A2	19890608	JP 1988-282640	19881110
JP 04210961	A2	19920803	JP 1991-53587	19910227
JP 06062561	B4	19940817		
JP 07070111	A2	19950314	JP 1994-32109	19940302
JP 07080770	B4	19950830		
DK 9400700	A	19940616	DK 1994-70094	19940616
DK 170471	B1	19950911	DK 1994-700	19940616

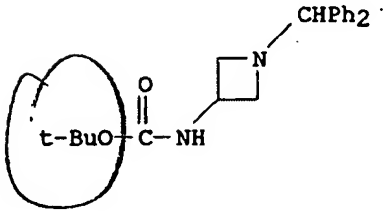
JP 08311061 A2 19961126 JP 1996-134697 19960529
JP 2704984 B2 19980126
PRAI US 1982-416406 19820909
US 1983-522275 19830812
IL 1983-69601 19830830
EP 1983-305148 19830906
CS 1983-6498 19830907
JP 1983-164271 19830908

AB Title compds. I and II [R = H, halo; R1 = (un)substituted N heterocycle; R2 = alkyl, haloalkyl, hydroxyalkyl, cycloalkyl, vinyl; R3 = H, alkyl, cation; R4, R5 = H, alkyl; Z = H, (un)substituted CH] were prepd. Thus, II (R = R1 = F, R3 = R4 = H, R5 = Me) was treated with diazaspirononane III.2HCl, prepd. from Et 3-(ethoxycarbonyl)-5-oxo-3-pyrrolidineacetate, to give II (R = 7-methyl-2,7-diazaspiro[4,4]non-2-yl), which had a min. inhibitory concn. against Staphylococcus aureus UC-76 of 0.006 .mu.g/mL.

IT **91189-18-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrogenolysis of)

RN 91189-18-3 CAPLUS

CN Carbamic acid, [1-(diphenylmethyl)-3-azetidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



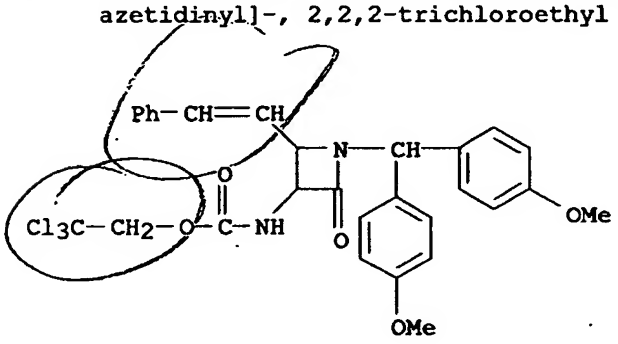
L4 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1982:423612 CAPLUS
DN 97:23612
TI .beta.-Lactams
PA Sumitomo Chemical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 57011962	A2	19820121	JP 1980-162901	19801118
	JP 01047467	B4	19891013		
PRAI	CA 1980-354817		19800625		

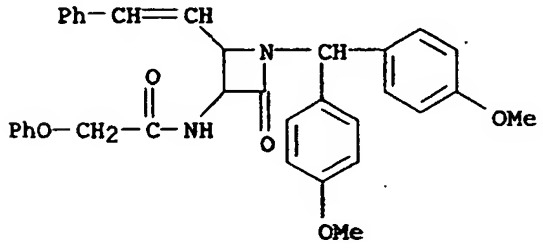
AB .beta.-Lactams I (R = mono- or diarylmethyl; R1 = H, NH2, CONH2, alkoxycarbonylamino; R2 = H, alkyl; R3 = CO2H, alkoxycarbonyl, alkenyl, alkyl) (II) were treated with ceric ammonium nitrate (III) to give I (R = H; R1 - R3 as above). Thus, heating 4-butoxycarbonyl-3-ethyl-N-(2,4-dimethoxybenzyl)-2-azetidinone with III in 1:1 AcOH-H2O 1 h at 95-105.degree. gave the corresponding I (R = H).

IT **77604-10-5 77604-29-6 77604-32-1**
77604-33-2
RL: RCT (Reactant)
(reaction of, with ceric ammonium nitrate)

RN 77604-10-5 CAPLUS
CN Carbamic acid, [1-[bis(4-methoxyphenyl)methyl]-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

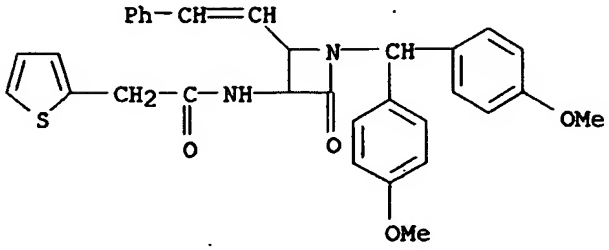


RN 77604-29-6 CAPLUS
CN Acetamide, N-[1-[bis(4-methoxyphenyl)methyl]-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]-2-phenoxy- (9CI) (CA INDEX NAME)



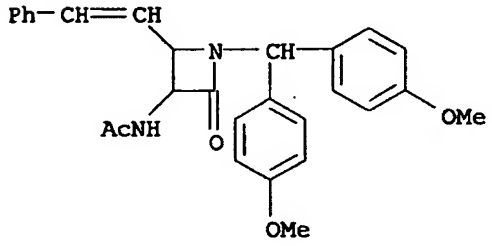
RN 77604-32-1 CAPLUS

CN 2-Thiopheneacetamide, N-[1-[bis(4-methoxyphenyl)methyl]-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]- (9CI) (CA INDEX NAME)



RN 77604-33-2 CAPLUS

CN Acetamide, N-[1-[bis(4-methoxyphenyl)methyl]-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]- (9CI) (CA INDEX NAME)



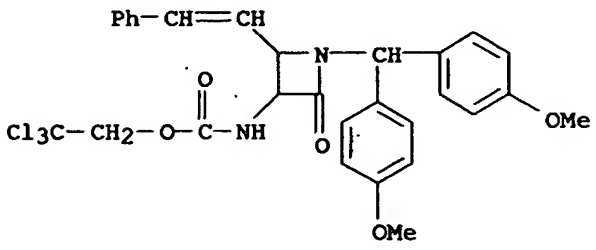
L4 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1982:217589 CAPLUS
DN 96:217589
TI .beta.-Lactams
PA Sumitomo Chemical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 57026660	A2	19820212	JP 1980-102185	19800724
JP 01023461	B4	19890502		

AB .beta.-Lactams (I; R = H, .alpha.-methyl-.beta.-alkoxycarbonylvinyl) and their acid salts were prepd. by cyclocondensation of RNHCO2H with Schiff base (II). Thus, 32.7 g ClCO2Et was added to 63.3 g MeO2CCH:CMeNHCO2K and 30.3 g Et3N in CH2Cl2 at -20.degree., the mixt. stirred, and 53.55 g II in CH2Cl2 added at room temp. to give 76% I (R = MeO2CCH:CMe), which was hydrolyzed to I tosylate (R = H). Similarly prepd. was I (R = EtO2CCH:CMe).

IT **77604-10-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of)

RN 77604-10-5 CAPLUS
CN Carbamic acid, [1-[bis(4-methoxyphenyl)methyl]-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1981:532653 CAPLUS
DN 95:132653
TI .beta.-Lactams and intermediates
IN Bose, Ajay K.
PA Gist-Brocades N. V., Neth.
SO U.S., 16 pp. Cont.-in-part of U.S. Ser. No. 969,207, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

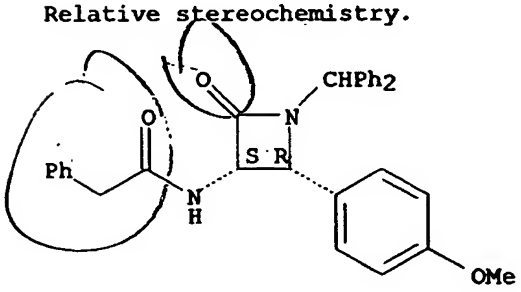
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4260743	A	19810407	US 1979-108669	19791231
PRAI US 1978-969207		19781213		

AB Azetidinones I (R = H, acyl, optionally substituted (o.s.) oxoalkenyl; R1 = H, SMe; R2 = H, furyl, o.s. Ph, CH:CHPh, optionally esterified CO2H, CH2OH; R1R2 = (CH2)5; R3 = H, Me, CH2Ph, o.s. Ph, optionally esterified CH2CO2H) were prepd. for use as bactericides and inflammation inhibitors (no data). Thus, MeCOCH2CO2Me was treated with glycine and KOH to give MeO2CCH:CMeNHCH2CO2K which was treated with furfurylidene-p-anisidine to give I (R = MeO2CCH:CMe, R1 = 2-furyl, R2 = H, R3 = 4-MeOC6H4). The latter compd. was hydrolyzed with acid to give I (R = R2 = H, R1 = 2-furyl, R3 = 4-MeOC6H4).

IT **52498-70-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 52498-70-1 CAPLUS
CN Benzeneacetamide, N-[1-(diphenylmethyl)-2-(4-methoxyphenyl)-4-oxo-3-azetidinyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



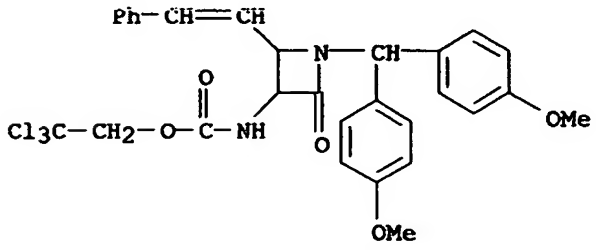
L4 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1981:192112 CAPLUS
DN 94:192112
TI Dearylmethylation of N-mono- or N-diarylmethyl-beta-lactams and azetidinones having antibacterial activity or useful as their intermediates
IN Sunagawa, Makoto; Matsumura, Haruki; Inoue, Takaaki; Hirohashi, Toshiyuki
PA Sumitomo Chemical Co., Ltd., Japan
SO Eur. Pat. Appl., 63 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 23097	A1	19810128	EP 1980-302197	19800630
	EP 23097	B1	19840801		
	R: BE, CH, DE, FR, GB, IT				
	JP 56007758	A2	19810127	JP 1979-82411	19790628
	JP 56010165	A2	19810202	JP 1979-85610	19790705
	JP 01002588	B4	19890118		
	EP 64797	A1	19821117	EP 1982-200761	19800630
	EP 64797	B1	19850522		
	R: BE, CH, DE, FR, GB, IT				
	US 4536334	A	19850820	US 1980-164396	19800630
PRAI	JP 1979-82411		19790628		
	JP 1979-85610		19790705		
	EP 1980-302197		19800630		

AB .beta.-Lactams I [R = H; R1 = H, PhS, PhSO2, amido, amino, alkoxycarbonylamino, Cl3CCH2O2CNH2; R2 = H, Et; R3 = CO2H, alkoxycarbonyl, (substituted) alkyl, alkenyl] were prepd. by reacting I (R = mono- or diarylmethyl) with an acid or ceric ammonium nitrate. Certain products and starting materials were antibacterials (no data). Thus, 1.2 g I [R = CH2C6H3(OMe)2-2,4, R1 = PhS, R2 = Et, R3 = CO2Bu] in F3CCO2H was stirred with BF3.cntdot.Et2O and anisole at 40.degree. for 30 min to give 79% I (R = H).

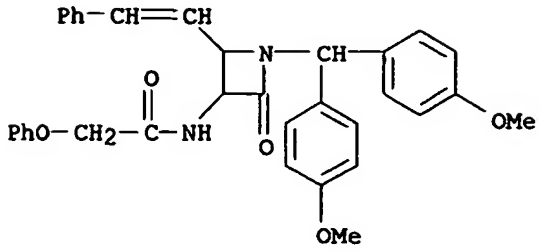
IT **77604-10-5P 77604-29-6P 77604-32-1P**
77604-33-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and dealkylation of)

RN 77604-10-5 CAPLUS
CN Carbamic acid, [1-[bis(4-methoxyphenyl)methyl]-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

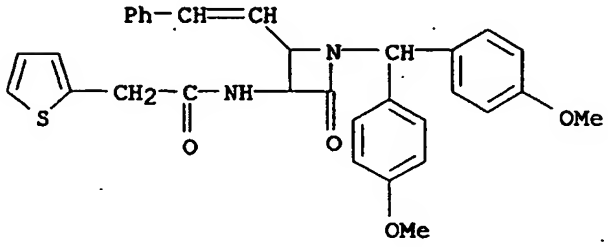


RN 77604-29-6 CAPLUS
CN Acetamide, N-[1-[bis(4-methoxyphenyl)methyl]-2-oxo-4-(2-phenylethenyl)-3-

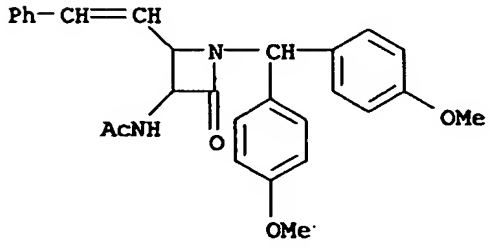
azetidinyl]-2-phenoxy- (9CI) (CA INDEX NAME)



RN 77604-32-1 CAPLUS
CN 2-Thiopheneacetamide, N-[1-[bis(4-methoxyphenyl)methyl]-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]- (9CI) (CA INDEX NAME)



RN 77604-33-2 CAPLUS
CN Acetamide, N-[1-[bis(4-methoxyphenyl)methyl]-2-oxo-4-(2-phenylethenyl)-3-azetidinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1978:443094 CAPLUS
DN 89:43094
TI Dephthaloylation of phthalimidoazetidinones
IN Kingsbury, William Dennis
PA Smithkline Corp., USA
SO Ger. Offen., 17 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

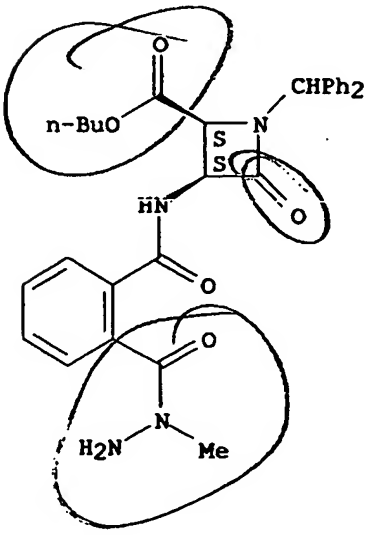
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2748258	A1	19780503	DE 1977-2748258	19771027
	US 4085100	A	19780418	US 1976-737297	19761101
	GB 1592878	A	19810708	GB 1977-43095	19771017
	JP 53056696	A2	19780523	JP 1977-128069	19771025
	FR 2369258	A1	19780526	FR 1977-32044	19771025
	FR 2369258	B1	19800620		
	NL 7711945	A	19780503	NL 1977-11945	19771031
PRAI	US 1976-737297		19761101		

AB Phthaloyl protective groups were removed from aminoazetidinones [I; RR1N = phthalimido; R2 = H, MeOC6H4CH2, Ph2CH, Ph3C, CHR4CO2R5 (R4 = OH, SAc, SCN; R5 = protective group); R3 = alkoxycarbonyl, CH2OR6 (R6 = C1-6 alkyl, C2-6 alkanoyl, mesyl, tosyl, PhCH2)] by treating at -80 to 75.degree. with a substituted hydrazine, e.g., MeNHNH2; or hydrazine salt. At low temps. the intermediates I (R = 2-H2NNMeC6H4CO, R1 = H, R2, R3 as above) were formed, which cleaved spontaneously at higher temps. to give 75-90% of the aminoazetidinones I (R = R1 = H).

IT **67098-67-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and protective group removal from)

RN 67098-67-3 CAPLUS
CN 2-Azetidinecarboxylic acid, 1-(diphenylmethyl)-3-[[2-[(1-methylhydrazino)carbonyl]benzoyl]amino]-4-oxo-, butyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

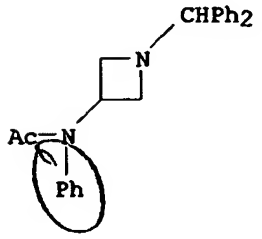


L4 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1975:409760 CAPLUS
DN 83:9760
TI 3-Aminoazetidines or their salts
IN Suzuki, Yasushi; Tsukamoto, Kunio; Hasegawa, Yukio; Hiramatsu, Yoshio
PA Teikoku Hormone Mfg. Co., Ltd.
SO Japan. Kokai, 39 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 49109369	A2	19741017	JP 1973-24984	19730302

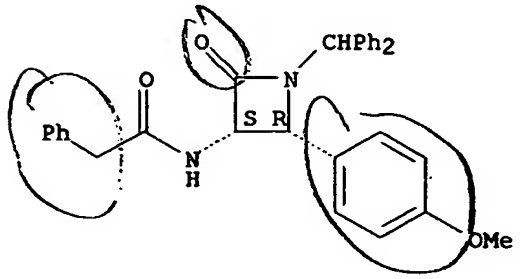
AB 3-Aminoazetidines (I; R = H, unsatd. hydrocarbon, arom. hydrocarbon, hetero atom-contg. hydrocarbon; R1 = H, alkyl, alkenyl, aryl, aralkyl, cycloalkyl, alkoxy, alkoxycarbonylalkyl, acyl; NR1R2 may form a heterocyclic ring) or their salts were prepd. by, e.g., reaction of II (R3 = reactive acid residues) with R1R2NM (M = H, alkali metals). Thus, 12.7 g 1-benzhydryl-3-methylsulfonyloxyazetidine in MeOH was added to 4.8 g PhNHEt and 4 g Et3N in MeOH at room temp. and stirred 48 hr to give 8.7 g 1-benzhydryl-3-(N-ethyl-N-phenylamino)azetidine. Among 33 more I prepd. were 3-(N-ethyl-N-phenylamino)azetidine, 1-benzhydryl-3-(N-phenyl-N-methylamino)azetidine, 1-benzhydryl-3-(N-phenylamino)azetidine, and 3-(N-phenylamino)azetidine-HCl.

IT **55438-69-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 55438-69-2 CAPLUS
CN Acetamide, N-[1-(diphenylmethyl)-3-azetidinyl]-N-phenyl- (9CI) (CA INDEX NAME)



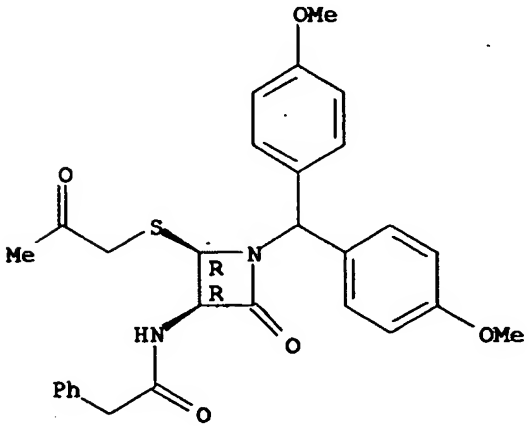
L4 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1974:515172 CAPLUS
DN 81:115172
TI .beta.-Lactams. 35. Antibacterial activity of monocyclic .beta.-lactams
AU Bose, Ajay K.; Manhas, M. S.; Kapur, J. C.; Sharma, S. D.; Amin, S. G.
CS Dep. Chem. Eng., Stevens Inst. Technol., Hoboken, N. J., USA
SO J. Med. Chem. (1974), 17(5), 541-4
CODEN: JMCMAR
DT Journal
LA English
AB Of 16 title compds. prepd. by the reaction of a Schiff base with the appropriate acid chloride, 7 were active in vitro against a variety of gram-pos. and gram-neg. bacteria at min. inhibitory concns. (MIC) of 25-100 .mu./ml. .beta.-Lactam (I) [52498-81-4] had a MIC of 25 .mu./ml against Brucella melitensis. Structure-activity relations were discussed.
IT **52498-70-1P**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antibacterial activity of)
RN 52498-70-1 CAPLUS
CN Benzeneacetamide, N-[1-(diphenylmethyl)-2-(4-methoxyphenyl)-4-oxo-3-azetidinyl]-, cis- (9CI) (CA INDEX NAME)

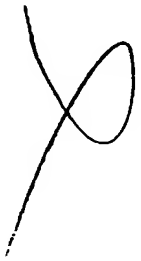
Relative stereochemistry.



L4 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1974:505122 CAPLUS
DN 81:105122
TI Attempted total synthesis of cephalosporin derivatives. II. Substitution reactions with trans-3-(sulfonyloxy)-2-azetidinones. Synthesis of cis-3-(acylamino)-4-(alkylthio)-2-azetidinones
AU Lattrell, Rudolf; Lohaus, Gerhard
CS Farbwerke Hoechst A.-G., Frankfurt/Main, Ger.
SO Justus Liebigs Ann. Chem. (1974), (6), 901-20
CODEN: JLACBF
DT Journal
LA German
AB The trans-(sulfonyloxy)azetidinones trans-I [R = R1SO3, R1 = 4-O2NC6H4, NCCH2, ClCH2, 3,4-Cl2C6H3, 4-ClC6H4, 4-MeC6H4, or Me; R2 = e.g. Ph, CH2CMe:CH2, CH2COMe, CH2CPh(OMe)2, or CH2C.tplbond.CH; R3 = e.g. Me, CH2CMe:CH2, or CPh3] (II) reacted with NaN3 in Me2SO with inversion to give cis-I (R = N3), the catalytic (Pd/C) hydrogenation of which gave cis-I (R = NH2) (III). The acylation of III and reactions of II with e.g. halides, thiocyanates, or thioacetates were reported.
IT **54870-50-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 54870-50-7 CAPLUS
CN Benzeneacetamide, N-[1-[bis(4-methoxyphenyl)methyl]-2-oxo-4-[(2-oxopropyl)thio]-3-azetidinyl]-, cis- (9CI) (CA INDEX NAME)

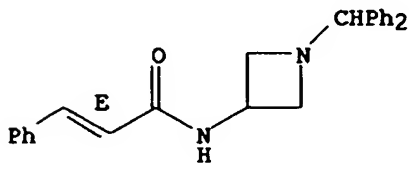
Relative stereochemistry.

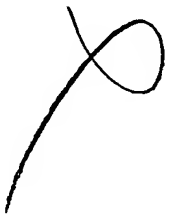




L4 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2002 ACS
AN 1973:135969 CAPLUS
DN 78:135969
TI Synthesis and biological activity of azetidine derivatives
AU Masuda, Katsutada; Okutani, Tetsuya; Morimoto, Akira; Kaneko, Tatsuhiko; Kikuchi, Kenzo; Hirata, Minoru; Tazima, Yoko; Jimpu, Toshio; Nagaoka, Akinobu
CS Takeda Chem. Ind., Ltd., Osaka, Japan
SO Takeda Kenkyusho Ho (1972), 31(4), 453-9
CODEN: TAKHAA
DT Journal
LA Japanese
AB About 20 azetidine derivs. were prepd. and their biol. activities were studied. No specific activity attributable to the azetidine ring was found. The antihypertensive and sympatholytic activities of 1-cyclohexyl-3- guanidinoazetidine (AZ-55) (I) were as strong as those of guanethidine and the muscle-relaxant activity of 1-tert-butyl-3-(p-aminobenzoyloxy) azetidine (AZ-43) (II) was as strong as that of procaine. None of the others were superior to their parent compds.
IT **40432-59-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 40432-59-5 CAPLUS
CN 2-Propenamide, N-[1-(diphenylmethyl)-3-azetidinyl]-3-phenyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.





```
=> d his

     (FILE 'HOME' ENTERED AT 16:24:15 ON 08 FEB 2002)

     FILE 'REGISTRY' ENTERED AT 16:24:40 ON 08 FEB 2002
L1               STRUCTURE UPLOADED
L2             4 S L1 SSS SAM
L3           104 S L1 SSS FUL

     FILE 'CAPLUS' ENTERED AT 16:26:17 ON 08 FEB 2002
L4            28 S L3

     FILE 'CAOLD' ENTERED AT 16:28:24 ON 08 FEB 2002

=> s l3
L5             0 L3

=> log y
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                  ENTRY    SESSION
FULL ESTIMATED COST                                0.32     265.57

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                  ENTRY    SESSION
CA SUBSCRIBER PRICE                                0.00     -17.35

STN INTERNATIONAL LOGOFF AT 16:28:38 ON 08 FEB 2002
```